Curriculum Vitae of Professor Tanmaya Pathak

| Name | Tanmaya PATHAK | |
|-----------------|--|--|
| Date of Birth | March 2, 1958 | |
| Nationality | Indian | |
| Sex | Male | |
| Marital status | Married, two children | |
| Mailing address | Department of Chemistry Indian Institute of Technology Kharagpur Kharagpur 721 302 | |
| | Tel.: 03222-283342. Fax: 03222-283352 E-mail: tpathak@chem.iitkgp.ac.in | |

Present Position

Professor

(Higher Administrative Grade) Department of Chemistry Indian Institute of Technology Kharagpur Kharagpur 721 302

Academic Qualifications

Postdoctoral Research

University of Karlsruhe, Germany, 1997 (with Prof. Herbert Waldmann). Southampton and St.Andrews University, UK, February 1989 - December 1990 (with Prof. David Gani)

Doctor of Philosophy

Uppsala University, Sweden, 1988 (with Prof. Jyoti Chattopadhyaya)

Master of Science (Specialisation in Organic Chemistry) Jadavpur University, India, 1982, First Class.

Bachelor of Science (Honours in Chemistry) Jadavpur University, India, 1979. First Class.

Higher Secondary:

West Bengal Board of Secondary Education, India, 1975. First Division.

Professional Career

Indian Institute of Technology Kharagpur, Department of Chemistry Head, Chemistry (May 2014- June-2017) Professor (Higher Administrative Grade) (Since August 2010) Professor (August 2004-July 2010) Associate Professor (May 2001-July 2004)

National Chemical Laboratory, Organic Chemistry Division (Synthesis) Scientist E1 (March 1996-April 2001) Scientist C (March 1991-February 1996)

Organon (India) Ltd, *Research and Development Division* Trainee/Research Associate (June 1981- September 1983)

Field of specialisation

Organic/Bioorganic/Medicinal Chemistry.

Current research interest: nucleoside modification; acyclonucleoside; backbone-modoified oligonucleotides; carbohydrate modification, heterocycles, carbocycles.

Visiting Assignment

Visiting Professor: Departement of Molecular Pharmacochemistry, CNRS/Universite Joseph Fourier-Grenoble 1, France, (May-June, 2007 and Jun-July 2008)

Visited the National Tsing Hua University, Hsinchu, Taiwan as a member of the Indian Delegation during January 7-9, 2007 (1st India-Taiwan Conference on Frontiers of Organic Chemistry).

Awards/Fellowship/Honours

Fellow: Indian Academy of Sciences Bangalore, 2015.

Fellow: West Bengal Academy of Science and Technology, 2014.

Recipient of "Dr. D. S. Bhakuni Award for the year 2010" awarded by the Indian Chemical Society in 2012.

Recipient of "Excellence in Carbohydrate Research-2010" awarded by the Association of Carbohydrate Chemists and Technologists, India.

Recipient of Bronze Medal awarded by the Chemical Research Society of India, 2010.

Member: Editorial Board of Biochemical Compounds published by Herbert Publications Ltd, UK.

Member of the Editorial Board of Carbohydrate Research published by Elsevier (2009-2012).

"Prof. M. K. Rout Memorial Lecture" delivered at the 23rd Annual Conference of Orissa Chemical Society, 2009.

Life member: Chemical Research Society of India (1999); Indian Chemical Society (2001); Indian Association for the Cultivation of Science (2000); The Association of Carbohydrate Chemists and Technologists (2005).

Alexander von Humboldt Fellow, 1996-1997.

National Scholarship (Certificate of Merit): Ministry of Education and Social welfare, Government of India, 1975.

Research Guidance

Guidance at doctoral level

- 25. Ms. Rashmita Pan. Thesis to be submitted in 2023.
- 24. Mr. Subhankar Santra. Thesis to be submitted in 2023.

23. Mr. Amitabha Bose. Thesis to be submitted in 2023.

22. Mr. Kumaresh Sarkar. *Synthesis and Reactions of Densely Functionalized Divinyl Sulfones.* Thesis to be submitted in 2022.

21. Mr. Rajesh Maiti. Studies on the Synthetic Applications of Propargylated Carbohydrates: New Routes to Hybrid Molecules; Thesis to be submitted in August 2021.

20. Ms. Pampa Mandal. *Nucleoside Triazole Hybrid Molecules as Inhibitors of Ribonuclease A*. (Co-guidance); Thesis to be submitted in August 2021.

19. Ms. Ashrukana Das. Crescent-shaped 1,2,3-Triazole Carbohydrate Hybrid Molecules as Ribonuclease A Inhibitors. (Co-guidance); Thesis to be submitted in August 2021.

18. Dr. Koustav Chakrabarty. *Target Ribonuclease A: Synthesis and Biological Evaluation of Nucleoside-derived Inhibitors.* (Co-guidance), Degree awarded in 2015.

17. Dr. Atanu Bhoumik. *Epoxides and Vinyl Selenones Derived from D-Fructose and L-Sorbose: Synthesis and Synthetic Applications.* Degree awarded in 2014.

16. Dr. Dhrubajyoti Datta. Synthesis and Biological Evaluation of Carboxymethylsulfonyl Tethered Nucleosides: A Chemical Logic Directed Approach Towards Ribonuclease A Inhibition. (Co-guidance), Degree awarded in 2014; Indian Institute of Technology, Kharagpur.

15. Dr. Anirban Kayat. 1,5-Disubstituted 1,2,3-Triazolylated Saccharides from Vinyl Sulfones: Syntheses and Applications. Provisional certificate awarded in September 2014, Indian Institute of Technology, Kharagpur.

14. Dr. Santu Dey. *1,5-Disubstituted 1,2,3-Triazoles from Vinyl Sulfones: Synthesis and Properties.* Degree awarded in July 2014, Indian Institute of Technology, Kharagpur.

13. Dr. Debanjana Dey. *Synthesis of Vinyl Sulfoxide-modified Carbohydrates, Vinyl Sulfone- and Vinyl Sulfoxide-modified Tetrahydrofurans and Studies on their Reactivities.* Provisional certificate awarded in February 2014, Indian Institute of Technology, Kharagpur.

12. Dr. Chinmoy Manna. Functionalization of Carbohydrates with β -Dicarbonyl and Related Reagents: Synthesis of C-Nucleosides, Densely Functionalized Carbocycles and Complex Polyheterocyclic Scaffolds. Degree awarded in July 2013, Indian Institute of Technology, Kharagpur.

11. Dr. Anirban Samanta. *Inhibition of Ribonuclease A by Modified Pyrimidine Nucleosides*. (Co-guidance), Degree awarded in March, 2010. Indian Institute of Technology, Kharagpur.

10. Dr. Joy Debnath. *Design of Nucleoside-based Inhibitors of Ribonuclease A and Angiogenin*. (Co-guidance), Degree awarded in March, 2010. Indian Institute of Technology, Kharagpur.

9. Dr. Ananta Kumar Atta. Acyclic Vinyl Sulfone-modified Carbohydrates: Synthesis and Intermediates for Carbocycles and Heterocycles. Degree awarded in January, 2010, Indian Institute of Technology, Kharagpur.

8. Dr. Rahul Bhattacharya. *Vinyl Sulfone-modified Hexopyranosides: Influence of Steric Bulk and Protecting Groups on Reaction Patterns and Synthetic Applications.* Degree awarded in December, 2009, Indian Institute of Technology, Kharagpur.

7. Dr. Tarun Kumar Pal. Synthesis and Synthetic Applications of Acyclic, Endocyclic and Exocyclic Divinyl Sulfone-modified Carbohydrates. Degree awarded in March, 2009, Indian Institute of Technology, Kharagpur.

6. Dr. Indrajit Das. Synthesis and Synthetic Applications of Endocyclic and Exocyclic Vinyl Sulfone-Modified Furanosides. Degree awarded in December, 2007, Indian Institute of Technology, Kharagpur.

5. Dr. Sachin Deshpande. *Studies on the Synthesis of New Hexopyranosyl Thymines.* Degree awarded in August, 2007, University of Pune, Pune.

4. Dr. Aditya Kumar Sanki. *Vinyl Sulfone-modified Pyranoses and Furanoses: Synthesis and Michael Addition Reactions*. Degree awarded in April, 2003, University of Pune, Pune.

3. Dr. Bindu Ravindran. N-Oxides and Vinylsulfones Derived from D-Glucose: Novel Intermediates for the Synthesis of Modified Carbohydrates. Degree awarded in December, 1999, University of Pune, Pune.

2. Dr. Sanjib Bera. *Functionalisation of the Carbohydrate Moieties of Pyrimidine Nucleosides: Synthesis of Amino- and Sulphur-Modified Thymidines and Uridines.* Degree awarded in July 1997, University of Pune, Pune.

1. Dr. Kandasamy Sakthivel. *Studies on The Synthesis of New Aminonucleosides: Reactions of Sulphonylated Pyrimidine Nucleosides with Amines*. Degree awarded in August 1996, University of Pune, Pune.

Postdoctoral Fellow

Dr. Jayanta Das, 2017-2019

Guidance at masters level

40. Abdul Hafiz. To be submitted in May 2022

39. Ashika Isarao Masram. To be submitted in May 2022

38. Samim Javed Gazi. *Metal free synthesis of 4- or 5-sulfonylated 1,4,5-trisubstituted 1,2,3-triazoles via [3+2] dipolar cycloaddition of \beta-iodovinyl sulfones. April 2021. Indian Institute of Technology, Kharagpur.*

37. Shatrudhan Prasad Yadav. *Metal free route of 1,5-disubstituted 1,2,3-triazoles from tertiary alcohol-modified vinyl sulfone*. April 2021. Indian Institute of Technology, Kharagpur.

36. Shubham Kumar Sing. *Disubstituted 1,2,3-triazoles from 1,3-dipolar cycloaddition reaction of a carbohydrate modified vinyl sulfone with a cholesterol-based azide*. May 2019. Indian Institute of Technology, Kharagpur.

35. Krishna Kanta Das. *Metal-free synthesis of 1,5-Disubstituted 1,2,3-triazole-tethered cholesterol conjugates*. May 2019. Indian Institute of Technology, Kharagpur.

34. Varun Sharma. A *metal free aqueous route to 1,5-disubstituted 1,2,3-triazole*. April 2018. Indian Institute of Technology, Kharagpur.

33. Amar D. Uike. Synthesis of polyfunctionalized cyclic sulfones from acyclic micheal acceptor divinyl sulfones. April 2018. Indian Institute of Technology, Kharagpur.

32. Mr. B. Bharat Naik. *Synthesis of vinyl sulfone and their utilisation in the synthesis of triazoles and study of micheal addition reaction*. April 2018. Indian Institute of Technology, Kharagpur.

31. Ms. Sunita Chongre. Functionalization of *D*-fructose in pyranose and furanose form and synthesis of bis-triazole. April 2017. Indian Institute of Technology, Kharagpur.

30. Ms. Anindita Goswami. *Study on functionalization of D-fructose in pyranose form*. April 2016. Indian Institute of Technology, Kharagpur.

29. Mr. Rahul Guin. *Studies on the synthesis of triazoles and thiophenes and their properties*. April 2016. Indian Institute of Technology, Kharagpur.

28. Ms. Ashrukana Das. *Functionalization of D-fructose via vinyl sulfone*. April 2015. Indian Institute of Technology, Kharagpur.

27. Mr. Arghya Ghosh. *Studies on the synthesis of triazolo-oxazine, via 1,4-Disubstituted- and 1,5-disubstituted-1,2,3-triazoles.* April 2015. Indian Institute of Technology, Kharagpur.

26. Mr. Manjur Akram. *Regioseletive synthesis of bis-1,5-disubstituted 1,2,3-triazoles from D-mannitol: a new class of Gemini surfactants*. April 2014. Indian Institute of Technology, Kharagpur.

25. Mr. Debjit Bhar. A general method for the synthesis of bicyclic triazoles and bis-triazoles from vinyl sulfone modified carbohydrate. April 2014. Indian Institute of Technology, Kharagpur.

24. Mr. Partha Samanta. A vinyl sulfone based regioselective synthesis of 1,5-disubstituted 1,2,3triazoles and study on their reaction pathways. April 2013. Indian Institute of Technology, Kharagpur.

23. Mr. Arghya Ganguly. 1,3-dipolar cycloaddition reaction between vinyl-sulfone modified hexofuranoside and azido sugars: A metal free route to 1, 5-disubstituted 1, 2, 3-triazole linked disaccharides in aqueous media. April 2013. Indian Institute of Technology, Kharagpur.

22. Mr. Suprabhat Maity. *Regiospecific synthesis of 1,4,5-trisubstituted-1,2,3-triazoles from vinyl selenone-modified glucose*. April 2012. Indian Institute of Technology, Kharagpur.

21. Ms. Nilanjana Chakrabarty. *Synthesis of uridine-serine conjugates as inhibitors of ribonuclease A*. April 2012. Indian Institute of Technology, Kharagpur.

20. Mr. Aniket Chowdhury. *Studies directed towards the regioselective synthesis of 1,5-disubstituted-1,2,3-triazoles*. April 2011. Indian Institute of Technology, Kharagpur.

19. Mr. Rajat Maji. *Synthesis and reactions of vinyl sulfone-modified 2,6-iminosugars*. April 2011. Indian Institute of Technology, Kharagpur.

18. Ms. Poulami Talukder. *Synthesis and reactions of vinyl sulfone-modified tetrahydropyrans.* May 2010. Indian Institute of Technology, Kharagpur.

17. Ms. Yindrila Chakrabarty. A study on the reaction patterns of 1-3 dicarbonyl compounds with vinyl sulfone-modified hex-3-pyranosides. May 2010. Indian Institute of Technology, Kharagpur.

16. Ms Debaki Ghosh. A new route to bicyclic sugars using neighbouring group participation of sulphur. May 2009. Indian Institute of Technology, Kharagpur.

15. Mr. Kaustav Chakraborty. *Studies on the diastereoselectivity of addition of amines to vinyl sulfone-modified carbohydrates*. May 2009. Indian Institute of Technology, Kharagpur.

14. Ms. Sugata Barui. *Synthesis of non-phosphate linked dinucleosides: Potential inhibitors of Angiogenin.* May 2008. Indian Institute of Technology, Kharagpur.

13. Mr. Manish Kumar. *Laboratory synthesis of hexopyranosyl thymine*. May 2008. Indian Institute of Technology, Kharagpur.

12. Ms. Debanjana Dey. *Exo-cyclic vinyl sulfone-modified carbohydrates*. May 2007. Indian Institute of Technology, Kharagpur.

11. Mr. Pinaki Paul. *Attempted modification of the 2'- and 3'-sites of uridine*. May 2006. Indian Institute of Technology, Kharagpur.

10. Mr. Gour Chand Daskhan. *Studies directed towards the synthesis of sugar based clusters*. May 2005. Indian Institute of Technology, Kharagpur.

9. Mr. Amritraj Patra. Studies *directed towards the synthesis of and diastereoselectivity of addition of amines to exocyclic vinyl sulfone-modified carbohydrates*. May 2004. Indian Institute of Technology, Kharagpur.

8. Mr. Sanjeev Kumar Dey. Studies on the diastereoselective addition of biologically relevant molecules having two nucleophilic functionalities. May 2003. Indian Institute of Technology, Kharagpur.

7. Ms. Sarmistha Sinha. *Studies directed towards the synthesis of 3'-deoxy-3'-N-morpholinouridines*. April 2002. IIT Kharagpur.

6. Mr. Subhransu Chatterjee. *Attempted synthesis of N-linked backbone-modified dinucleosides*. April 2002. IIT Kharagpur.

5. Ms. S. M. Doiphode. *Incorporation of 2-aminothiophenol into carbohydrate moieties of nucleosides: building blocks for the synthesis of backbone modified oligomers*. April 2001. University of Pune, Pune.

4. Mr. S. Easwar. Synthesis of 5-O-benzyl-3-deoxy-3-S-thioaryl-1,2-isopropylidine- α -D-ribofuranoses: important intermediates in the synthesis of vinylsulfone modified pentofuranoses. May 2000. University of Pune, Pune.

3. Mr. Prashant J. Mulay. Synthesis of 1-(3-O-tosyl-2,4,6-tri-O-acetyl-β-D-glucopyranosyl)-thymine: a versatile intermediate for the generation of various pyranosyl nucleosides. April 2000. Fergusson College and University of Pune, Pune.

2. Mr. B. P. Pant. *Studies on the one-pot conversion of 2',3'-O-anhydrouridine to d*₄U. May 1996. University of Pune, Pune.

1. Mr. K. Veeraiah. Oxidative degradation of nucleoside aminoalcohols: synthesis of unsaturated pyrimidine nucleosides. May 1995. University of Pune, Pune.

Sponsored Projects

| Densely Functionalized Divinyl Sulfones: Synthesis, Reactions and Applications in Biology and Material Science. Not Known Starting on April 1, 2021 None Council of Scientific and Industrial Research, New Delhi (https://www.csirhrdg.res.in/SiteContent/ManagedContent/ ContentFiles/20210322165039263rs_02_A21.pdf) |
|--|
| 1,5-Disubstituted 1,2,3-Triazoles: Synthesis and Applications of an Under-explored and Under-utilized Class of Triazoles in Material Science and Biologyes. |
| Rs. 36,38,534/- |
| March 2020- February 2023 None |
| Department of Science and Technology, New Delhi |
| A Metal-Free, General Synthetic Strategy for 1,5-Disubstituted-1,2,3- triazoles: New Chemical Entities for Biological- and Material- Sciences. |
| Rs. 50,00,000/- |
| October 2014- September 2017 None |
| Department of Science and Technology, New Delhi |
| A journey to the center of ribonucleases: Designing of nucleoside based inhibitors. |
| Rs. 60,00,000/- |
| September 2013- August 2016 Dr. Swagata Dasgupta |
| Department of Biotechnology, New Delhi |
| |

| 10. Title of project: | A step towards the utilization of D-fructose, L-sorbose and 1,5- anhydro-D-fructose as chiral pools in synthetic chemistry. |
|--|---|
| Sanctioned amount: | Rs. 23,06,000/- |
| Duration of project: | May 2011- April 2014 |
| Co-investigator: Sponsoring agency: | None Council of Scientific and Industrial Research, New Delhi |
| Sponsoning agency. | |
| 9. Title of project: | Cyclic and Acyclic Vinyl Sulfones Constructed on Chiral Appendeges: Reactive Carbohydrates as Versatile Intermediates for Accessing Chirally Pure Heterocycles, Carbocycles, Macrocycles and Related Compounds. |
| Sanctioned amount: | Rs. 24,00,000/- |
| Duration of project: | April 2010- March 2013 |
| Co-investigator: | None Department of Science and Technology, New Delhi |
| Sponsoring agency: | Department of Science and Technology, New Delhi |
| 8. Title of project: | Synthesis and Biological Studies of Azido and Aminohexopyranosyl Nucleosides and Aminohexopyranose Containing Oligomers: Towards New Classes of Antivirals and Antibiotics |
| Sanctioned amount: | Rs. 35,00,000/- |
| Duration of project: | May 2006- April 2009 |
| Co-investigator: | DECOUT Jean-Luc, PhD, Professor Department of Molecular Pharmacochemistry |
| | Grenoble University |
| Sponsoring agency: | Indo–French Centre for the Promotion of Advanced Research |
| 7 Title of music of | Mana Dia and Distribut Outfana Madified Oarthabudestas as Manastila |
| 7. Title of project: | Mono-, Di- and Bisvinyl Sulfone-Modified Carbohydrates as Versatile Synthons: A New "Chiron Approach" to Heterocycles, Carbocycles, Sugar Clusters and Modified Nucleosides |
| Sanctioned amount: | Rs. 20,00,000/- |
| Duration of project: | August 2006- July 2009 |
| Co-investigator: Sponsoring agency: | None Department of Science and Technology, New Delhi |
| Sponsoning agency. | Department of Science and Technology, New Denn |
| 6. Title of project: | Inhibition of the ribonucleolytic activity of angiogenin with backbone modified dinucleotides: a new approach to cancer chemotherapy. |
| Sanctioned amount: | Rs. 24,68,400/- |
| Duration of project: | July 1 2003- June 31, 2006 |
| Co-investigator: | Dr. Swagata Dasgupta |
| Sponsoring agency: | Department of Science and Technology, New Delhi |
| 5. Title of project: | A new route to natural and synthetic dideoxyamino sugars: components of aminoglycoside antibiotics and polysaccharides. |
| Sanctioned amount: | Rs. 2,00,000/- |
| Duration of project: | September 2001-March 2003 |
| Co-investigator: | |
| Sponsoring agency: | ISIRD, IIT Kharagpur |

| 4. Title of project: Sanctioned amount: Duration of project: Co-investigator: Sponsoring agency: | Mono and bis-vinyl sulfones derived from carbohydrates: versatile and general intermediates for stereocontrolled synthesis of heterocycles, carbocycles, modified nucleosides and amino acids. Rs. 16,43,880/- August 2000-August 2004. None Department of Science and Technology, New Delhi | |
|--|--|--|
| 3. Title of project: | Sugar and heterocycle modified nucleosides-Section A-synthesis of new potential antivirals and Section B- development of cost-effective processes for known antiviral drugs. | |
| Sanctioned amount: | Rs. 25,00,000/- | |
| Duration of project: Co-investigator: | January 1998-December 1999. Dr. K. Nagarajan, Recon Ltd., Bangalore | |
| Sponsoring agency: | Department of Science and Technology, New Delhi | |
| 2. Title of project: | Synthesis of modified nucleosides: potent antiviral compounds. | |
| Sanctioned amount: Duration of project: | Rs. 2,15,900/- August 1993-July 1995. | |
| Co-investigator: | None | |
| Sponsoring agency: | Department of Science and Technology, New Delhi | |
| 1. Title of project: | Skip DNA, Skip RNA: A new generation of antisense oligonucleotides. | |
| Sanctioned amount: | Rs. 1,00,000/- | |
| Duration of project: Co-investigator: | October 1991-September 1992. None | |
| Sponsoring agency: | National Chemical Laboratory, Pune | |
| | | |

Highlights of Teaching

Pathak has been teaching "Organic Chemistry" to first year B.Tech. and second/third year M.Sc. students. His first-hand experience in the area of enzyme chemistry, helped him in teaching "Biotransformations in organic chemistry" in the earlier years. Because of his special interest in the area of biological chemistry he teaches "Structure and Function of Biomolecules", a core subject for fourth year students. He also teaches "Drug design and drug discovery/Medicinal Chemistry" which is an elective subject floated by the department of chemistry. In addition to the students of the department of chemistry, the "Drug design and drug discovery/Medicinal Chemistry" course is also attended by students from the Departments of Biotechnology and Chemical Engineering. In addition to the theory classes he also takes special interest in practical courses which are mandatory for the B.Tech and M.Sc. students.

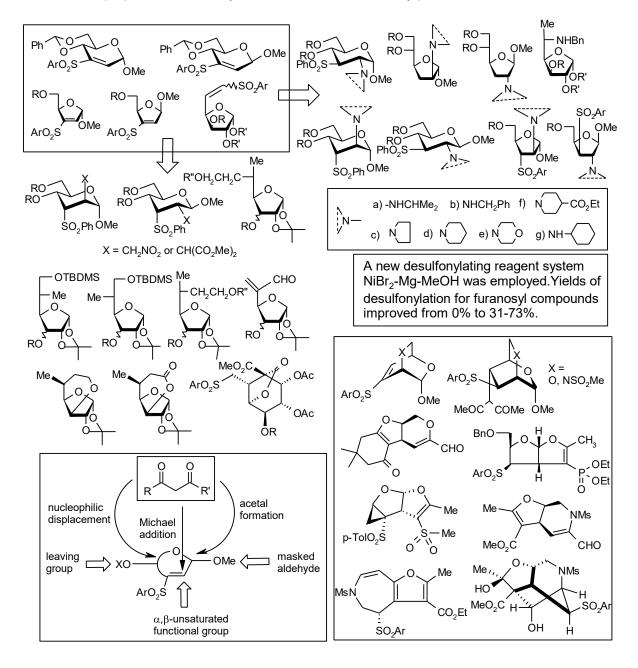
Research at a Glance

| Synthetic Organic & Bioorganic Chemistry | | |
|---|---|--|
| Modified Carbohydrates | Modified Nucleosides | |
| Vinyl sulfone-carbohydrates Aminosugars Branched-chain sugars Chiral divinyl sulfones Cyclopropanted carbohydrates Vinyl selenone-carbohydrates Oligosaccharides linked by triazoles and synthetic linkers | Aminonucleosides Branched-chain nucleosides Vinyl sulfone-Nucleosides Allenesulfone-nucleosides Bicyclic nucleosides Hexopyranosyl nucleosides Isonucleosides Carboxylated nucleosides | |
| Carbocycles & Heterocycles | Ribonuclease Inhibition | |
| Functionlized Cyclopropanes 5-/6- membered carbocycles 5-/6- Membered satd heterocycles Polysubstituted pyrroles 1,5-Disubstitute-1,2,3-triazoles Sulfonylated triazoles | | |

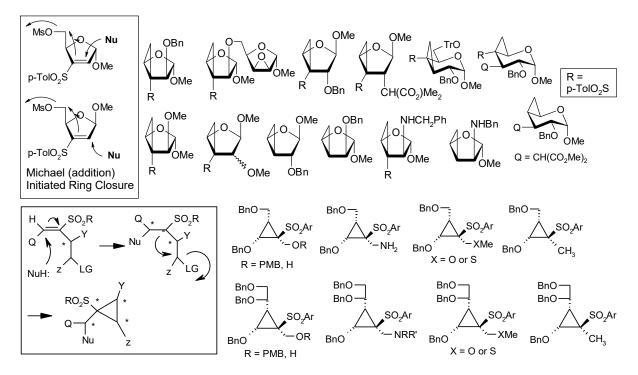
Highlights of Research

Carbohydrates are considered as one of the most functionally versatile natural products present as biochemically active components of plants, animals and micro-organisms. Nucleic acids, the central compounds of molecular biology contain monomeric carbohydrates as integral parts of their polymeric structure. Pathak's group has been contributing in the challenging area of synthetic chemistry of highly polar carbohydrates and nucleosides. Thus, a wide range of enantiopure cylopropanes, five-and six- membered saturated carbocycles and heterocycles, polyfunctionalized pyrroles, new amino-, thio- and branched-chain sugars, 1,5-disubstituted-1,2,3-triazoles, hybrid molecules ligated through 1,5-disubstituted-1,2,3-triazolyl linkers and chiral divinyl sulfones were prepared from carbohydrates. On the other hand, Pathak's group developed special methods for the modification of nucleosides which are sensitive to a host of chemicals normally used in organic synthesis. Some of these achievements are summarized below.

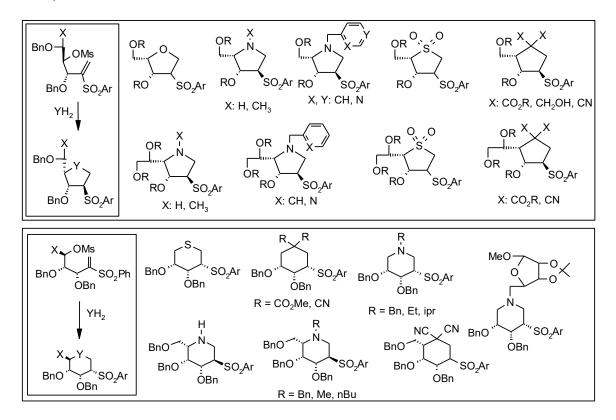
<u>Aminosugars and branched-chain sugars</u>: Vinyl sulfone-modified carbohydrates were used for the synthesis of a series of 2,3-dideoxy-2-aminosugars, 5-amino-5-deoxysugars. These Michael acceptors also afforded branch-chain carbohydrates. Some of these branched-chain sugars are used further for the preparation of densely functionalized enantimerically pure scaffolds.



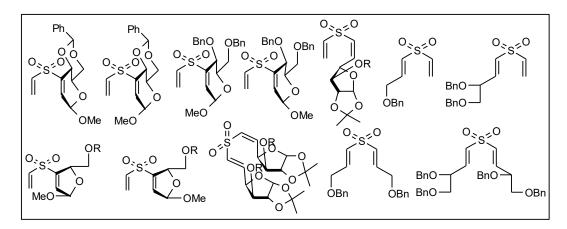
<u>Cyclopropanated carbohydrates and cyclopropanes functionalized at all three ring carbon</u> <u>atoms:</u> Suitably designed vinyl sulfone-modified furanosides act as substrates for Michael Initiated Ring Closure reactions yielding cyclopropanted carbohydrates with varying substitutions at the α position. A non- metal catalyzed route afforded to a myriad of cyclopropanes substituted at all three ring carbon atoms.

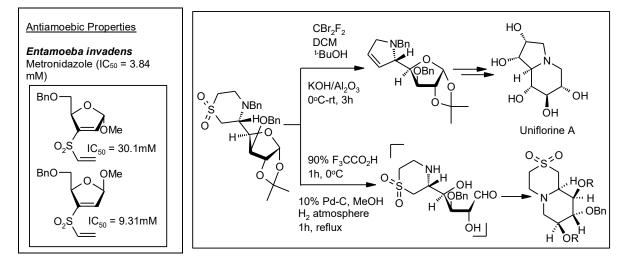


Five and six membered carbocycles and heterocycles: Pentosyl and hexosyl acyclic vinyl sulfones having a suitably positioned leaving group reacted with externally delivered oxygen, nitrogen, sulfur and carbon nucleophiles to form a series of five- and six-membered carbocycles and heterocycles in a diastereselective fashion.

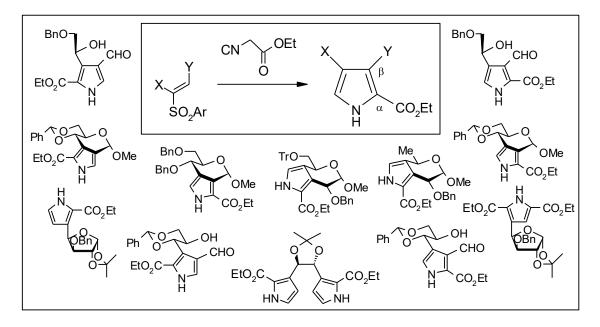


<u>Chiral divinyl sulfones</u>: A general methodology has been devised for the construction of divinyl sulfone moiety on chiral appendages like hexopyranosyl and pentofuranosyl carbohydrates, acyclic carbohydrates as well as nucleosides. These Michael acceptors react with various nucleophiles to afford cyclic products and shows interesting biological properties.

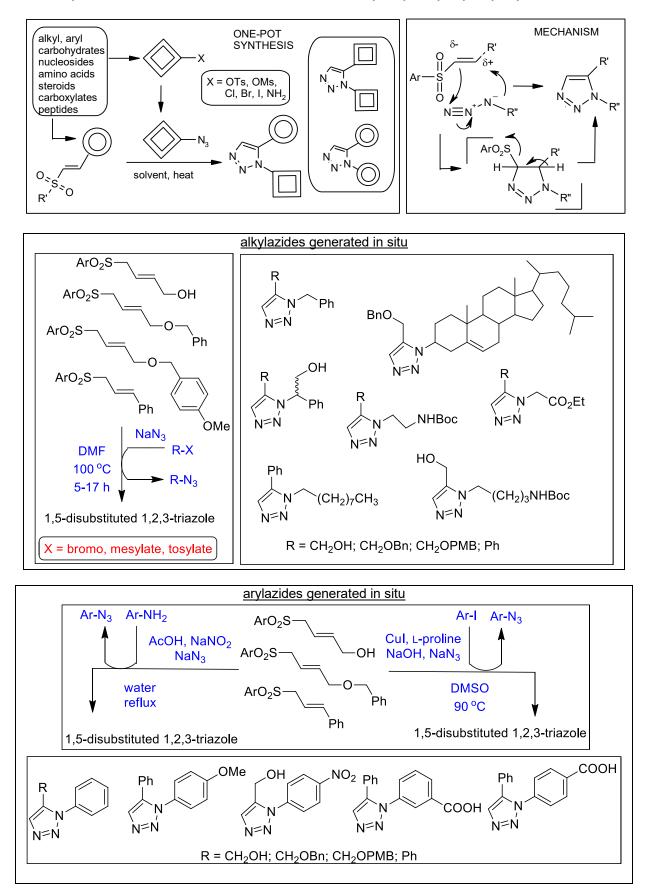




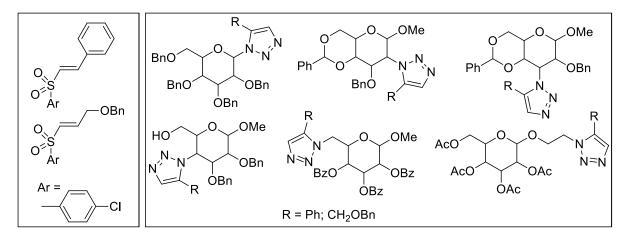
<u>Polysubstituted enantiopure pyrroles</u>: A wide range of vinyl sulfone-modified carbohydrates, both cyclic and acyclic were efficiently converted to a plethora of new pyrrole derivatives. This is one of the most efficient strategies for accessing β -substituted pyrroles.

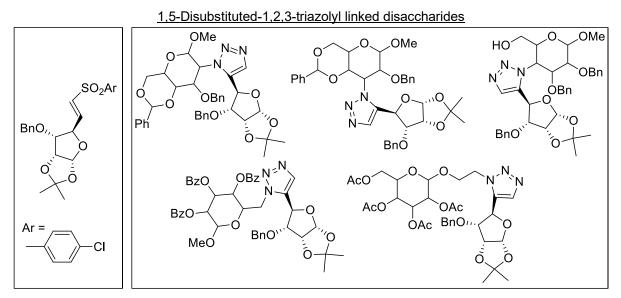


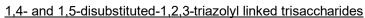
<u>**1,5-Disubstituted-1,2,3-triazoles:</u>** A metal-freeand aqueous route to 1,5-disubstituted-1,2,3-triazoles has been devised via 1,3-dipolar cycloaddition of azides and vinyl sulfones. This is a general strategy for the synthesis of 1,5-disubstituted 1,2,3-triazoles with alkyl/alkyl, alkyl/aryl, aryl/aryl combinations.</u>

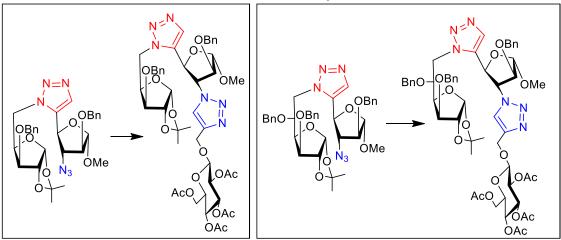


<u>1,5-Disubstituted-1,2,3-triazolylated carbohydrates</u>: Vinyl sulfones derived from styrene epoxide and monotosylated glycerol were reacted with several azidopyranosides having azido group at C1, C2, C3, C4, C6 and to the terminal position of an exocylic chain attached to C1 to afford regioselectively 1,5-disubstituted triazolylated pyranosides. Similar reactions with azidofuranosides afforded the corresponding triazolylated pyranosides. Coupling of several azidopyranosides and azidofuranosides with vinyl sulfone-modified furanosides afforded a plethora of 1,5-triazole-linked disaccharides.

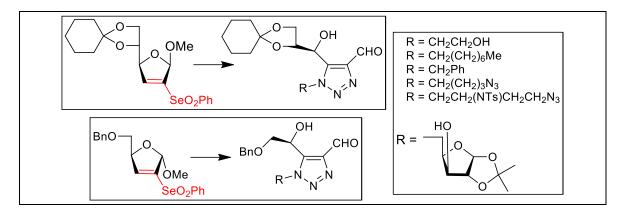




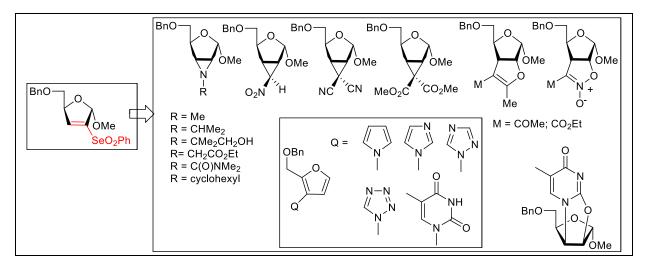




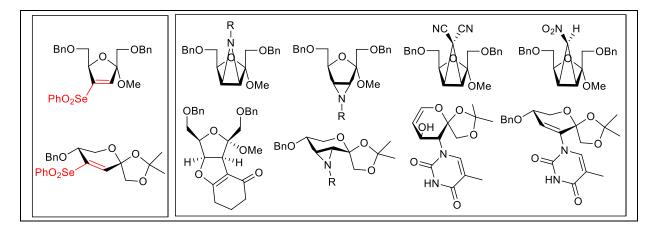
<u>Enantiomerically pure trisubstituted triazoles from vinyl slenone-modified sugars</u>: A wide range of vinyl selenone-modified furanosides, a new class of 2π -partners undergo 1,3-dipolar cycloaddition reactions with a wide range of organic azides to afford enantiopure trisubstituted triazoles. This strategy is one of the most convenient methods for the synthesis of enantiopure 1,4,5-trisubstituted 1,2,3-triazoles where the chiral components are attached to C-4 or C-5 position of triazole ring.



Enantiomerically pure heterocycles, carbocycles, and isonucleosides from selenosugars: The construction of vinyl selenone on a furanoside led to a highly reactive synthetic intermediate methyl- α -D-2-selenonyl pent-2-enofuranoside composed of a masked aldehyde, an electron-deficient double bond along with an excellent leaving group. This new Michael acceptor on reactions with different nucleophiles afforded wide range of compounds.

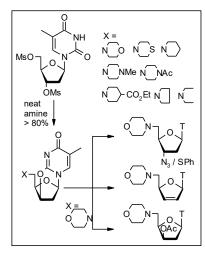


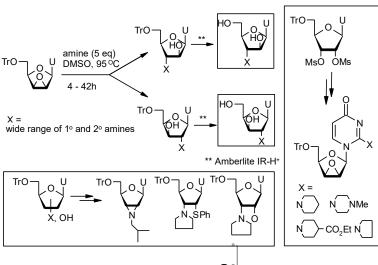
<u>Vinyl selenones derived from D-fructose: a new platform for fructochemistry:</u> Construction of vinyl selenone moieties on cyclic skeletons of D-fructose led to the highly reactive synthetic intermediates comprising of an electron-deficient double bond along with an excellent leaving group. Reactions of these Michael acceptors with different nucleophiles afforded new chemical entities.



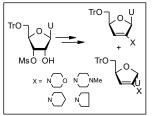
Amino-, hexopyranosyl-, sulfonylated- and branched nucleosides: Functionalized nucleosides or appropriately modified carbohydrates were used for the synthesis of several new classes of aminonucleosides different hexopyranosyl-, sulfonylated- thymidines and branched chain nucleosides.

Aminonucleosides



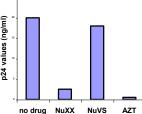


p24

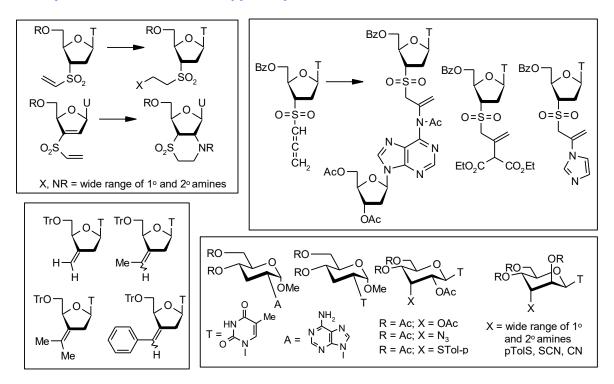


A CD4+ T cell line, CEM-GFP was used for the assay. The cells were infected with a lab isolate of HIV and the nucleosides were added immediately after infection in the cell culture. The cells were kept in culture from 7-14 days. AZT was used as a positive control. HIV-1 p24 antigen levels were measured in the supernatant after incubation.

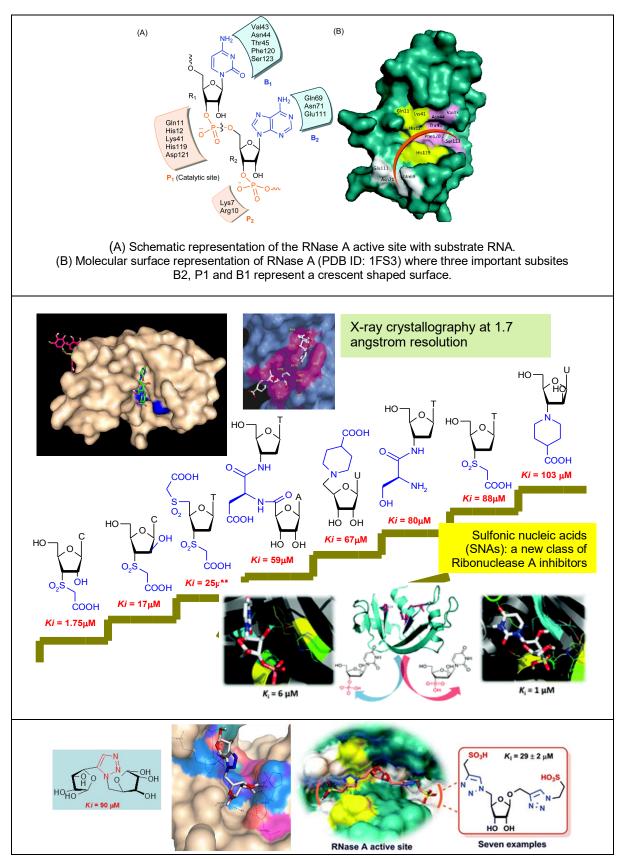
Our preliminary results indicate that NuXX is able to inhibit HIV replication in the CEM cells whereas the NuVS is not active at the same concentration. Higher concentrations of both the nucleosides are cytotoxic to the cells.



Sulfonylated-, branched- and hexopyranosyl nucleosides



<u>Nucleoside- and carbohydrate-based inhibitors of ribonuclease A</u>: A new approach has been developed by designing synthetic nucleosides and carbohydrates as inhibitors of ribonuclease A. Molecules carrying sulfonic acid group are found to be most efficient inhibitors.

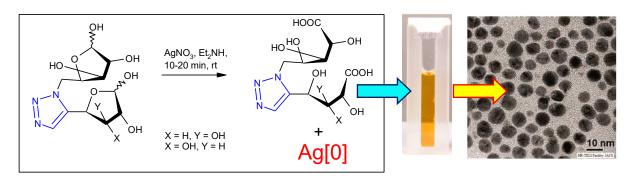


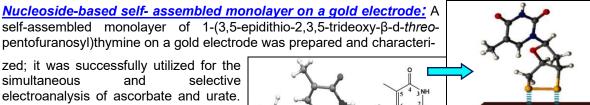
Future Research

A coordination-assisted general approach to nickel-based nano metallogels: NiCl_{2.6}H₂O, in presence of a wide range of amines forms green gels in protic solvents. UV-Vis studies indicate the initial formation of square planar species which gets transformed into tetrahedral or octahedral components. Theoretical studies indicate the presence of *cis*-Ni(OMe)₂(MeOH)₄ as one of the major components of the gel. This study reveals that metallogel formation need not necessarily require synthetically designed ligands with pre-defined coordination sites.

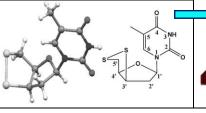


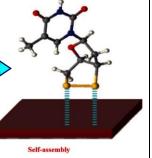
Silver nanoparticles from triazole-linked disaccharides: 1,5-DT linked disaccharides were used as reducing as well as capping agents for the synthesis of silver nanoparticles (AgNPs). The AgNPs were formed in suspension having different shapes and sizes and unlike natural disaccharides, the triazolyl linked disaccharides were found to be stable under the conditions to produce AgNPs. The AgNPs showed high toxicity against bacterial strains E. coli and S. aureus.





This study demonstrates that the tailored molecular assemblies can be used for the selective or simultaneous electroanalysis of bioanalytes.





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List of Publications of Professor Tanmaya Pathak

(ORCID ID: 0000-0001-9645-75)

120. Maiti, R.; **Pathak, T.** A regioselective approach to the synthesis of 1,5-disubstituted 1,2,3-triazole fused oxacycles from suitabley functionalized polyhydroxy compounds. *Manuscript in preparation*.

119. Dey, S.; **Pathak, T**. A sequential route to a family of mixed tris(triazolamers) consisting of 1,5disubstituted 1,2,3-triazoles: structural diversity dependent gel formation. *Manuscript in preparation*.

118. Kayet, A.; **Pathak, T**. Validation of surfactants in vinyl sulfone based regioselective synthesis of 1,5-disubstituted 1,2,3-triazoles: a case study on 1,5-triazolylated carbohydrates. *Manuscript in preparation.*

117. Chakraborty, K.; Dasgupta, S.; **Pathak, T**. Nucleoside carboxylic acids linked through 1, 4, 5-trisubstituted 1, 2, 3-triazoles: synthesis and rnase a inhibition studies. *Manuscript in preparation.*

116. Das, J.; **Pathak, T.** Carboxylated Vinyl sulfone-mediated synthesis of 1,5-disubstituted-1,2,3-triazole formation: an efficient route to a new class of peptidomimetics. *Manuscript in preparation*.

115. Das, J.; Bose, A.; Pathak, T. 1,5-Disubstituted 1,2,3-triazoles: A Review. Communicated

2001-2020

114. Bose, A.; **Pathak, T**. Vinyl sulfone-modified carbohydrates: michael acceptors and 2π partners for the synthesis of functionalized sugars, enantiomerically pure carbocycles and heterocycles. *Adv. Carbohydr. Chem. Biochem.* **2020**, *78*, 1-146.

113. Das, A. Dasgupta, S.; **Pathak, T**. Design of configuration-restricted triazolylated β -D-ribofuranosides: a unique family of crescent-shaped rnase A inhibitors. *Org. Biomol. Chem.* **2020**, 18, 6340-6356.

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107. Kayet, A.; Datta, D.; Das, A.; Dasgupta, S.; **Pathak, T**. 1,5-Disubstituted 1,2,3-triazole bridged disaccharides as a new class of enzyme inhibitors: design, synthesis and their biological evaluation against ribonucleolytic activity. *Bioorg. Med. Chem.* **2018**, *26*, *455-462*.

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15. Sakthivel, K.; Bera, S.; **Pathak, T**. One-step synthesis of C-2 dialkylamino-substituted 2',3'-O-anhydro-*lyxo*-uridines: first report on the opening of 2,2'-O-anhydro-bridge of 2,2'-O-anhydrouridine by secondary amines. *Tetrahedron* **1993**, *49*, 10387-10392.

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Manuscript published from postdoctoral tenure

13. **Pathak, T.**; Waldmann, H. Enzymes and protecting group chemistry. *Curr. Opin. Chem. Biol.* **1998**, *2*, 112-120. *review article*

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Invited Lectures

49. Organic Reactions in Cells and Drug Design in the Context of Corona Pandemic (Vivekananda Satavarshiki Mahavidyalaya, WB, International Webinar July 08, **2020**.

48. Vinyl Sulfones as 2π Partners in 1,3-Dipolar Cycloadditions: Metal Free Routes to Disubstituted 1,2,3-Triazolylated Carbohydrates. A lecture delivered at the International Carbohydrate Conference (CARBO-XXXIV; "Emerging frontiers in Carbohydrates Chemistry and Glycobiology") jointly organised by the Chemistry Department, University of Lucknow and Association of Carbohydrate Chemists and Technologists (India) at Chemistry Department, University of Lucknow, Lucknow, India. December 5-7, **2019**.

47. Vinyl Sulfones Derived from Carbohydrates: A New Approach to Enantiopure Carbocycles and Heterocycles. A lecture delivered at the 55th Annual Convention of Chemists 2018, organized by the Indian Chemical Society during December 28-30, **2018** at the Department of Chemistry, G. B. College, Naugachia (T. M. Bhagalpur University, Bhagalpur).

46. A Journey to the Centre of Ribonuclease A with Synthetic Nucleosides. A lecture delivered at the "National Bioorganic Chemistry Conference (NBCC)" held at the School of Chemical sciences, National Institute of Science and Research (NISER)-Bhubaneswar during December 22-24, **2018**.

45. A Journey to the Centre of Ribonuclease A. A lecture delivered at the symposium on "Supramolecular Chemistry in Biology and Functional Materials (SCBFM 2018)" held at the Indian Institute of Science Education and Research (IISER) Kolkata during March 29-30, **2018**.

44. Enantiopure Heterocycles and Carbocycles from Biomass Precursor Carbohydrates. A lecture delivered at the "National Seminar on Current Developments in Chemical Sciences" held at Jadavpur University under Centre for Advanced Studies-II Program on March 07, **2018**.

43. Densely Functionalized Synthetic Intermediates Designed from Carbohydrates: A New Approach to Enantiopure Carbocycles and Heterocycles. A lecture delivered at the "National Conference on Contemporary Facets of Organic Synthesis 2017" held at the Indian Institute of Technology Roorkee during December 22-24, **2017**.

42. New Chemical Entities from Biomass Precursor Carbohydrates. A lecture delivered at an "Indo-German Workshop" held at Indian Institute of Technology (BHU) during February 14-16, **2017**.

41. A Journey to the Centre of Ribonuclease A. A lecture delivered at the "National Conference on Recent Developments in Chemistry-2016 (RDC-2016)" at the Department of Chemistry, National Institute of Technology Durgapur during October 4-6, **2016**.

40. Enantiopure New Chemical Entities from Biomass Precursor Carbohydrates. A lecture delivered at the UGC Sponsored "National Seminar on Recent Trends in Research in Chemical Sciences" at the Department of Chemistry, Ghatal Rabindra Satabarsiki Mahavidyalaya (in collaboration with the Department of Chemistry, Kharagpur) on 30 August, **2016**.

39. Enantiopure Compounds from Biomass Precursor Carbohydrates. A lecture delivered at the "International Conference on Materials for Sustainable Future" (ICMSF 2016) held at SASTRA University, Thanjavur during 14-15 July **2016**.

38. Synthetic Nucleosides and the Inhibition of Ribonuclease A. A lecture delivered at the "10th Mid-Year Chemical Research Society of India Symposium" held at the National Institute of Technology, Tiruchirapalli during July 23-25, **2015**.

37. Vinyl Sulfone-Modified Carbohydrates: Reactive Intermediates for the Synthesis of Enantiopure Heterocycles and Carbocycles. A lecture delivered at the International Conference on "Innovative Applications of Chemistry in Pharmacology & Technology (IC-IACPT-2015)" held at the Department of Chemistry, Berhampur University, Odisha, during February 6-8, **2015**.

36. Synthetic Modifications of D-Fructose. A lecture delivered at the "International Conference on Challenges in Chemistry and Biology of Carbohydrates (CARBO-XXVIII)" held at the Forest Research Institute, Dehradun during January 20-22, **2014**.

35. Enantiomerically Pure Carbocycles and Heterocycles from Vinyl Sulfone-Modified Carbohydrates. A lecture delivered at the "27th International Carbohydrate Symposium (ICS27)" held at the Indian Institute of Science, Bangalore, India during January 12-17, **2014**.

34. Synthetic Nucleosides. A lecture delivered at the UGC sponsored "National Seminar on Recent Advances in the Field, Materials to Molecules" held at the Department of Chemistry, Municipal College, Uditnagar, Rourkela during 2-3 February, **2013**.

33. A Journey to the Centre of Ribonucleases. A lecture delivered at the "National Seminar on Recent Advances in Chemistry" organised by the Department of Chemistry of Jadavpur University during 10-11 February, **2012**.

32. Modified Nucleosides as Inhibitors of Ribonucleases. A lecture delivered at the Symposium on "Carbohydrates at the Interface of Chemistry and Biology (CARBO XXVI)" held at the Indian Institute of Chemical Biology, Kolkata during November 23-25, **2011**.

31. Biologically Relevant Synthetic Nucleosides and Dinucleosides. A lecture delivered at the symposium on Chemical Technologies in Drug Discovery organised by the Institute of Life Sciences, Hyderabad and Merck and Co., Inc. (Whitehouse Station, NJ, USA) at the Novotel Hotel, Hyderabad during 23-24 April, **2011**.

30. Molecules to Medicines. A lecture delivered at the UGC-sponsored one day seminar for celebration of 2011 as the "International Year of Chemistry" at Ramakrishna Mission Vidyamandira, Belur Math on 25 March, **2011**.

29. Biologically Relevant Synthetic Nucleosides. A lecture delivered at the One Day National Symposium on Frontiers in Chemical Science organised by the Department of Chemistry and Chemical Technology of Vidyasagar University on March 13, **2011**.

28. An Overview of Our Research on Modified Carbohydrates and Nucleosides. An award lecture delivered at the Silver Jubilee, XXV Carbohydrate Conference held at H. P. University, Shimla, during November 11-13, **2010**. (Excellence in Carbohydrate Research 2010).

27. Our Journey to the World of Modified Carbohydrates and Nucleosides. A lecture delivered at the 12th Chemical Research Society of India National Symposium in Chemistry held at Indian Institute of Chemical Technology, Hyderabad during February 5-7, **2010**.

26. Vinyl Sulfone-modified Carbohydrates: An Unexplored Group of Chiral Building Blocks. The "Prof. M. K. Rout Memorial Lecture" delivered at the 23rd Annual Conference of Orissa Chemical Society and National Seminar on Recent Trends in Chemical Science and Technology held in the Department of Chemistry, National Institute of Technology, Rourkela, December 19-20, **2009**.

25. Heterocycles and Carbocycles from Vinyl Sulfone-Modified Carbohydrates. A lecture delivered at the 13th NOST (National Organic Symposium Trust) Symposium held at Majorda Beach Resort, Goa, India, May 1-4, **2009**.

24. Synthesis of Biologically Relevant Modified Nucleosides. A lecture delivered in the "13th ISCB International Conference on Interplay of Chemical and Biological Sciences: Impact on Health and Environment" in the Department of Chemistry, University of Delhi during February 26 - March 1, **2009**.

23. Synthetic Strategies for the Modification of Nucleosides. A lecture delivered in "Opportunities for the Talent to excel in Chemical Sciences" (96th Indian Science Congress) held at North Eastern Hill University, Shillong during January 3-7, **2009**.

22. Divinyl Sulfone-Modified Carbohydrates. A lecture delivered in "Current Trends in Organic Synthesis" held at the Department of Organic Chemistry, Indian Institute of Science, Bangalore, during November 20-22, **2008**.

21. Vinyl Sulfone-modified Carbohydrates: An Unexplored Group of Chiral Building Blocks. A lecture delivered in the Organic Chemistry (Synthesis) Department, NCL, Pune on Jul 24, 2007.

20. Synthetic Modification of Carbohydrates and Nucleosides. A lecture delivered in the Department of Chemistry, Universite Claude Bernard Lyon 1, France on June 19, **2007**.

19. Vinyl Sulfone-modified Carbohydrates: An Unexplored Group of Chiral Building Blocks. A lecture delivered in the "Department of Molecular Pharmacochemistry, CNRS/Universite Joseph Fourier-Grenoble 1, France on June 8, **2007**.

18. Synthetic Modification of Carbohydrates and Nucleosides. A lecture delivered in "1st India-Taiwan Conference on Frontiers of Organic Chemistry" held at the National Tsing Hua University, Hsinchu, Taiwan, January 7-9, **2007**.

17. Michael Acceptors Derived from Carbohydrates: An Expedient Route to Chiral Building Blocks. A lecture delivered in the "Conference on Recent Developments in Carbohydrate Chemistry" (CARBO-XXI) held at the Department of Chemistry, University of Delhi, India, November 26-29, **2006**.

16. Vinyl Sulfone-modified Carbohydrates: An Unexplored Group of Chiral Building Blocks. A lecture delivered in the "Fifth National Symposium in Chemistry" held at the Department of Chemistry, IIT Kharagpur, India, February 26, **2006**.

15. Vinyl Sulfone-Modified Carbohydrates: A Versatile Group of Intermediates for the Synthesis of Amino- and Branched-Chain Sugars. A lecture delivered at the "XX Carbohydrate Conference" held at the Department of Chemistry, University of Lucknow, Lucknow, November 24-26, **2005**.

14. Diastereoselective Addition of Amines to Vinyl Sulfone-modified Carbohydrates: A New Route to Aminosugars. A lecture delivered at the "1st National Conference on Chitin & Chitosan" held at the Department of Chemistry, Motilal Nehru National Institute of Technology, Allahabad on May 24, **2005**.

13. AIDS, antisense and angiogenesis driven modification of nucleosides. A lecture delivered at the "First Scientific Meeting of the Chemical Research Society of India (Kolkata Chapter)" held in Indian Association for the Cultivation of Science, Jadavpur, Kolkata, August 1, **2003**.

12. Medicinal chemistry: An introduction. A lecture delivered at the "Refresher's Course on Basic Aspects of Chemistry: Theories and Application" conducted by UGC-academic Staff College at Jadavpur University, Kolkata, India, January 11, **2003**.

11. Syntheses and Reactions of Vinyl Sulfone-Modified Hex-2-enopyranosides and Pent-2-enofuranosides. A lecture delivered at the "National Symposium on Organic Chemistry" held in Jadavpur University, Kolkata, India, April 17, **2002**.

10. Biologically relevant N-modified carbohydrates and nucleosides. A lecture delivered at the "International Symposium on Challenges in Drug Discovery and Development in the Twenty First Century" organized by Chembiotek Research International and held at Taj Bengal, Kolkata, India, March 25-26, **2002**.

9. Vinylsulfone-modified carbohydrates and nucleosides: useful synthetic intermediates and potential enzyme inhibitors. A lecture delivered at the "Symposium on Bioorganic Chemsitry" held in the Indian Institute of Science, Bangalore, India, February 7-8, **2000**.

8. *New aminonucleosides: synthesis and properties*. A lecture delivered at the "Fifth IUPAC International Symposium on Bioorganic Chemistry (ISBOC 5)" held at the National Chemical Laboratory, Pune, India, January 30-February 4, **2000**.

7. Vinylsulfone-modified carbohydrates: synthesis and properties. A lecture delivered at the "XIV Carbohydrate Conference" held in the Department of Chemistry, Indian Institute of Technology (Madras), Chennai, India, December 16-17, **1999**.

6. Nitrogen and sulfur containing nucleosides as potential antivirals. A lecture delivered at the National Symposium on "Recent Advances in Structure, Synthesis and Function of Biomolecules" held in Bose Institute, Calcutta, India, February 4-6, **1999**.

5. Vinyl sulfone modified carbohydrates and nucleosides. A lecture delivered at the "Seventh NOST (National Organic Symposium Trust) Symposium" held in Visakhapatnam, India, September 10-13, **1998**.

4. N- and S- modified nucleosides: potential antivirals and building blocks for the preparation of non-phosphate linked antisense oligonucleot(s)ides. A lecture delivered at the Department of Bioorganic Chemistry, University of Montpellier, France, November 21, **1997**.

3. Modified nucleosides: potential antivirals and building blocks for the preparation of non-phosphate linked antisense oligonucleot(s)ides. A lecture delivered at the Department of Bioorganic Chemistry, Biomedical Centre, Uppsala University, Sweden, August 22, **1997**.

2. Synthesis of specially functionalized modified nucleosides: building blocks for the preparation of non-phosphate linked antisense oligonucleot(s)ides. A lecture delivered at the "Fifth National Symposium on Bioorganic Chemistry" held in Kolhapur, India, February 24-25, **1995**.

1. Nucleic acids as targets for drug design. A lecture delivered at the conference on "Rational Drug Design" held at National Chemical Laboratory, Pune, September 29-30, **1993**.

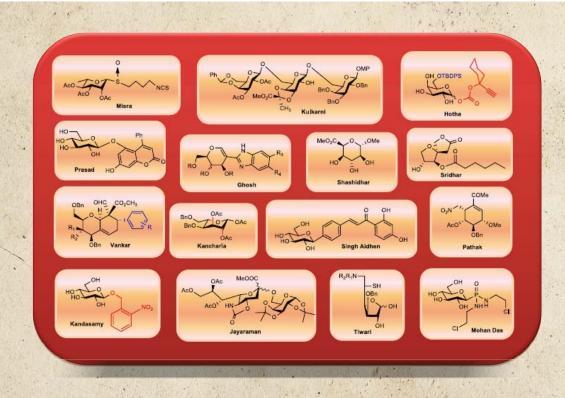
Lectures for the development of scientific awareness in society and amongst young students

(several of these are supported by the three Indian Academies)

- > Medicinal Chemistry: an Introduction (Tarakeswar Degree College, WB, January 31, 2019)
- > Organic Molecules: In and Around Us (Tarakeswar Degree College, WB, January 31, 2019)
- Organic Molecules as Medicines: Activity & Explanation (Gour Mahavidyalaya, WB, December 1, 2018)
- > Organic Reactions in Cells (Gour Mahavidyalaya, WB, November 30, 2018)
- Organic Molecules as Medicines: Activity & Explanation (Chakdaha College, WB, Jan 29, 2018)
- > Organic Reactions in Cells (Chakdaha College, WB, January 19, 2018)
- Organic Molecules as Medicines: Activity & Explanation (Kaliyaganj College, WB, Jan 6, 2018)
- > Organic Reactions in Cells (Kaliyaganj College, WB, January 5, **2018**)
- > Molecules to Medicines: A Rational Approach (Gangarampur College, WB, March 17, 2017)
- > Organic Reactions in Biological Systems (Gangarampur College, WB, March 16, 2017)
- Molecules to Medicines: A Rational Approach Ramkrishna Mission Vivekananda Centenary College, WB, March 11, 2017)
- Organic Reactions in Biological Systems (Ramkrishna Mission Vivekananda Centenary College, WB, March 10, 2017)
- Organic Reactions in Biological Systems (Raja Narendralal Khan Women's College, WB, November 6, 2016)
- Molecules to Medicines: A Rational Approach (Raja Narendralal Khan Women's College, WB, November 6, 2016)
- > Organic Molecules to Medicine (Dinabandhu Andrews College, WB; August 9, 2016)
- > Molecules to Medicines: A Chemical Story. (DAV Model School, Kharagpur; May 21, 2016)
- > Organic Chemistry and Modern Medicine (Narasinha Dutt College, WB; Feb. 23-24, 2015)
- > Molecules to Medicines: A Chemical Story (NIT Sikkim; June 23-27, 2014)
- Life and Experiences of an Indian Chemist (NIT Sikkim; June 23-27, 2014)
- > Molecules to Medicines: A Chemical Story. (St. Xavier's College, Kolkata; October 7, 2013)
- > Click Chemistry: (North Bengal University, West Bengal; November 23, 2012)
- > Medicinal Chemistry: An Overview. (North Bengal University; November 22, 2012)
- > *Molecules to Medicine*. (Dumdum Motijhil College, WB; March 23, **2012**)
- > Prafulla Chandra Roy: The Pathfinder. (Alumni Meet, IIT Kharagpur; January 5, 2012)
- > Molecules to Medicines. (Ramakrishna Mission Vidyamandir, Belur Math; March 25, 2011)

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Special Issue on "Synthetic Carbohydrate Chemistry"



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PREFACE

The research related to the organic chemistry of carbohydrates is known for a long time. The involvement of this class of biomolecules in a number of biochemical processes has triggered recent interest in carbohydrate related research. Apart from their well known uses as building blocks in oligosaccharide synthesis, carbohydrates in general are efficient organic starting materials because of their availability in enantiomerically pure form. However, the complexity associated with the structural diversities of carbohydrates, the presence of several chiral centres as well as potentially reactive hydroxyl groups and their highly polar nature deter synthetic chemists to use these inexpensive and easily available molecules as starting materials.

Therefore, the main goal of this special issue (February, 2020) on the "**Synthetic Carbohydrate Chemistry**" is an attempt to highlight the activities of some of the Indian research groups involved in carbohydrate related research. In this special issue, five review articles and ten original research articles covering mostly synthetic applications of carbohydrates are included.

Singh Aidhen and co-workers revisit glycosylated chalcones as promising chemical scaffolds in medicinal chemistry. They briefly discussed the reported natural *O*- and *C*-glycosylated chalcones with an emphasis on their recent synthesis of *C*-glucosylated isoliquiritigenin, a potential aldose reductase inhibitor.

The review by Prasad and co-workers compiles information on the biochemically synthesized glycosylated coumarins, which are potential drug candidates and have other biological applications. This review is expected to give the readers a clear perspective of biochemical preparation of coumarin glycosides as well as serve as a databank of this class of compounds.

Jayaraman and co-workers review the expanding facets of transglycosylations as a powerful methodology in glycoside synthesis. The importance of conformational preference in transglycosylation and factors responsible for the conformational stability have been discussed.

Glycosciences covering glycoconjugates, glycolipids and glycotargeting are reviewed by Mohan Das and co-workers. This review also makes an attempt to provide a better understanding of the structures and functional features of glycosciences with a particular emphasis on sugar derivatives.

Shashidhar and co-workers highlights the potential of *myo*-inositol, a molecule involved in various cellular processes, as a starting material for the preparation of natural products. This article addresses the issues required to increase the potential of *myo*-inositol as an important synthetic building block.

Vankar and co-workers report the stereoselective synthesis of sugar-fused C-aryl-carbasugar derivatives via Diels-Alder reaction between galactal- and glucal-derived terminally unsubstituted dienes and trisubstituted olefins.

The synthesis of sugar derived benzimidazoles has been reported by Ghosh and co-workers FeCl₃ catalyzed reactions of glycal-2-carboxaldehydes and *o*-phenylenediamine or its derivatives has been used to prepare this class of antimicrobial compounds.

Tiwari and co-workers synthesize a series of glycosylated β -aminothiols by employing TBAB/Et₃ N-catalyzed ring opening of thiirane ring of D-glucose-derived 5,6-anhydro-3-O-benzyl-1,2-O-isopropylidene- α -D-glucofuranose with a wide range of amines. Selective deprotection of photolabile 2-nitrobenzyl acetals at anomeric position in the presence of a wide variety of protecting groups using continuous flow photo-reactor (UV radiation of 355 nm) in methanol-water is achieved by Kandasamy and co-workers.

Sridhar and co-workers describe a concise and stereoselective approach for the total synthesis of (+)-secosyrin and (+)-syribuin 1 from an easily available starting material 3,4-di-O-benzyl-D-xylal.

Kancharla and co-workers report a three-step strategy for the synthesis of L-hexoses, antipodes of the common hexoses from the commercially available glycals. The strategy affords one of the most expensive L-sugars i.e. L-Altrose from D-Galactose derived Perlin aldehyde.

The preparation of novel glycosylated analogues of sulforaphane, a bioactive natural product is accomplished by Misra and co-workers. D-Glucosyl and L-rhamnosyl sulforaphane derivatives are obtained from the corresponding glycosylthiols.

Appropriately protected trisaccharide repeating unit of *Cobetia pacifica* KMM 3878 *O*-sulfated polysaccharide is synthesized by Kulkarni and co-workers. The key building block, 3,4-O-pyruvilated galactose used in this synthetic strategy acts as a donor as well as an acceptor.

Hotha and co-workers report a minimal protection based glycosylation strategy by eliminating multiple steps for the glycosyl donor synthesis. The protocol uses the synergistic action of [Au]/[Ag]-catalytic system providing fast glycosilation with broad substrate scope.

Pathak and co-workers establish a synthetic strategy for the preparation of enantiopure, densely functionalized carbocycles from vinyl nitro-modified carbohydrates.

The guest editor is grateful to the Council Members of the Indian Chemical Society for giving him the opportunity to edit an issue on a topic not so popular amongst Indian chemists. He also thanks all the authors for contributing to this issue and experts for spending their valuable time in reviewing these articles. Let us hope that research on carbohydrate will continue to flourish more significantly in India in the near future.

G. D. Yadav Chittaranjan Sinha

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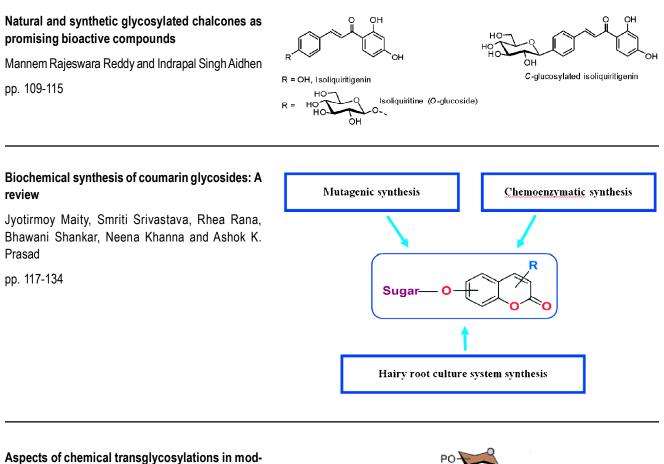
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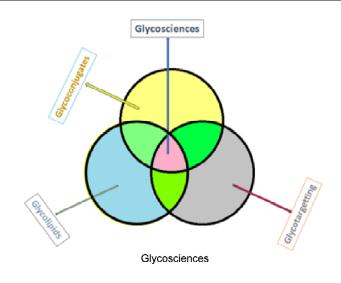
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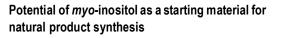


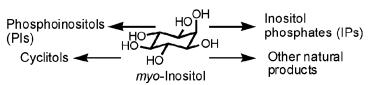
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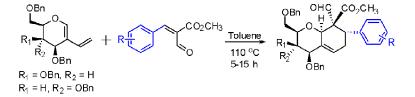
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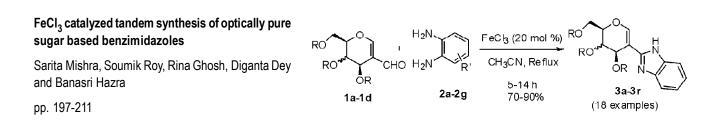
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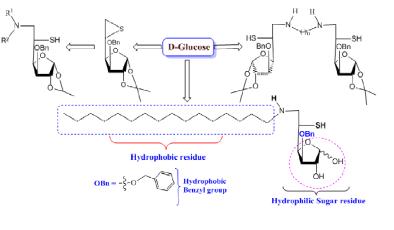




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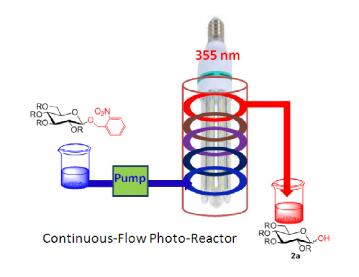
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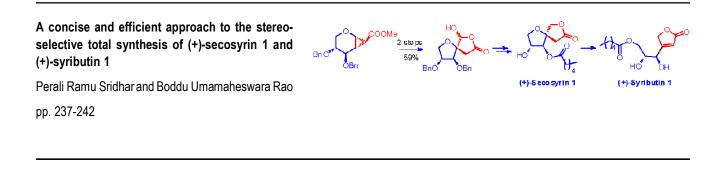


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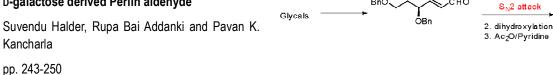
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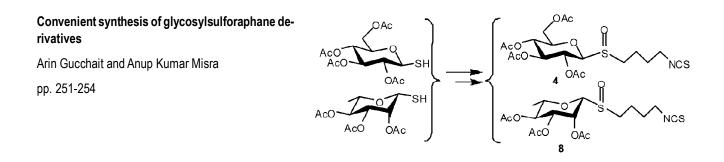
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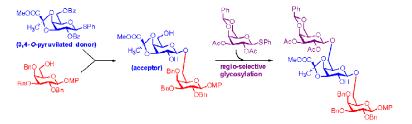
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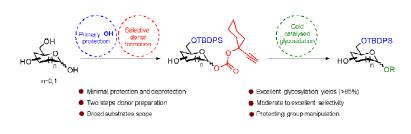
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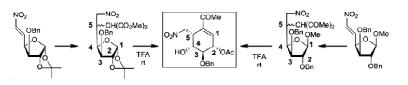
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Advances in CARBOHYDRATE CHEMISTRY AND BIOCHEMISTRY

Editor

DAVID C. BAKER University of Tennessee, Knoxville, TN



Preface

It was the best of times, it was the worst of times, it was the age of wisdom, it was the age of foolishness, it was the epoch of belief, it was the epoch of incredulity, it was the season of light, it was the season of darkness, it was the spring of hope, it was the winter of despair.

Charles Dickens, A Tale of Two Cities

In these less-than-ideal times of the COVID-19 pandemic of 2020, where teachers, scientists, authors, editors, and publishers alike struggle to make the best of often difficult situations, both at home and in the work place, we are most pleased to have the contribution of Amitabha Bose and Tammaya Pathak (Department of Chemistry, Indian Institute of Technology Kharagpur, Kharagpur, West Bengal, India) entitled, "Vinyl sulfone-modified carbohydrates: Michael acceptors and 2π partners for the synthesis of functionalized sugars and enantiomerically pure carbocycles and heterocycles."

The title is a reflection of the extensive work in the Pathak Laboratory and elsewhere in developing and refining the vinyl sulfone group as a useful tool in modifying enantiomerically pure carbohydrates and using these as chiral building blocks (vinyl sulfone-modified carbohydrates, VSMCs) in the synthesis of complex carbohydrates, as well as carbocyclic and heterocyclic compounds. Attractive features of the vinyl sulfone group include its general ease of synthesis by common C-S bond-forming reactions, followed by oxidation to the sulfone, and dehydroelimination of suitable leaving groups to produce vinyl sulfones. The vinyl sulfone groups of these VSMCs are the quintessential Michael acceptors, reacting with a plethora of nucleophiles to form a seemingly endless stream of modified carbohydrates. These processes are demonstrated to work whether the carbohydrate is a pyranose, a furanose, or an acyclic or bicyclic species, thus furnishing a myriad of possibilities in the synthesis of enantiopure compounds. In addition to using Michael reactions, we see new chemical entities produced from the VSMCs via desulfostannylation, Michael-initiated ring-closure (MIRC) reactions, and from their use as 2π partners for cycloaddition reactions. The products are impressive and include amino sugars; C-glycosides; carbocycles of three-, five-, and six-membered rings; saturated oxa-, aza-, and thio-heterocycles; bi- and tricyclic saturated oxa- and aza-heterocycles;

enantiomerically pure pyrroles; 1,5-disubstituted-1,2,3-triazolylated carbohydrates and 1,2,3-triazole-linked di- and trisaccharides; divinyl sulfone-modified carbohydrates (DVSMCs); densely functionalized (S,S)-dioxothiomorpholines; and nucleosides. The authors showcase processes that have been refined and work on at least the gram scale to provide the chemist a useful tool for synthesis. It is recommended that the serious synthetic chemist consider adding these processes to his/her armamentarium of synthetic tools.

David C. Baker Knoxville, Tennessee October 2020 CHAPTER ONE

Vinyl sulfone-modified carbohydrates: Michael acceptors and 2π partners for the synthesis of functionalized sugars and enantiomerically pure carbocycles and heterocycles

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> 1. Introduction

The preparation and reactions of the vinyl sulfone (VS) group was reported at least about a century ago with the synthesis of a VS, $MeC_6H_4SCH=CHSO_2C_6H_4Me$.¹ However, in terms of actual applications, the first dyestuffs with a [2-(sulfoxy)ethyl]sulfonyl group were patented in 1949. These compounds under basic conditions generated a VS group in situ; VS, a highly reactive Michael acceptor attached to a dye molecule subsequently reacted with the nucleophiles present in cellulose and efficiently attached the dye molecules to cellulose.² A review entitled "Multiply Convergent Syntheses via Conjugate-Addition Reactions to Cycloalkenyl Sulfones," which was published about three and a half decades ago,³ drew attention to the potential of α , β -unsaturated sulfones or VSs as Michael acceptors as well as 2π -partners in cycloaddition reactions.⁴

The two major review articles on vinyl sulfone-modified carbohydrates (VSMCs) published in 2008 listed most of the review articles on noncarbohydrate VSs published until that period.^{4,5} This specific topic was elaborated further in two additional articles published in 2011.^{6,7} Since then, interests in the synthesis and synthetic applications, as well as the biology of VSs, have been growing consistently, so much so that a plethora of review articles covering various aspects of VSs have been published. For example, starting from VSs as reactive synthetic materials,^{8,9} new methods for the synthesis of VSs¹⁰ to specific reactions of VSs as Michael acceptors such as the organocatalyst-mediated asymmetric Michael additions,^{11,12} sulfonebased organocatalysis,¹³ *N*-heterocyclic carbene-catalyzed reactions,¹⁴ and even VS-functionalized dyes¹⁵ have been reviewed. On the other hand, VSs have emerged as 2π partners in cycloadditions,¹⁶ triazole synthesis¹⁷ and pyrrolidine synthesis.¹⁸

One of the earliest reports on VSMCs dealt with the physical studies of these molecules. The acyclic molecule **1.1** and the corresponding peracetyl derivative were prepared from the partially protected D-arabinose. A furanosyl exocyclic VS **1.2** was also readily synthesized (Fig. 1).^{19,20} Much later, a pyranosyl epoxide **1.3** functionalized with a VS group was used in the synthesis of maytansine (**1.5a**) or maytansinol (**1.5b**) via a Michael adduct **1.4** (Scheme 1).^{21,22}

were also prepared. These starting materials generated a wide variety of 2',3'dideoxy nucleosides. Some of the endocyclic and exocyclic VSM nucleosides were also used for desulfostannylation reactions for generating branched-chain modified nucleosides. DVS-modified uridines gave access to a new class of bicyclic nucleosides.

An extensive study on the desulfonylation reactions of VSMCs revealed that sugar molecules were too sensitive for conventional desulfonylation conditions. Reactions are also dependent to some extent on the anomeric configuration. In some cases, however, desulfonylation in situ afforded clean and cyclized products. The sulfur dioxide extrusion reaction was also applied on cyclic sulfones to generate useful heterocycles and carbocycles.

VSM molecules in general are increasingly becoming a useful functional group in biological research, as was mentioned in Section 1. Highly reactive VS-based Michael acceptors have been identified as cysteine protease inhibitors. The ability of one of the DVS-modified furanosides to cause significant cell death in *E. invaden* along with the absence of any notable toxicity highlighted the potential of VSMCs in biological systems. Similarly sugar configuration-dependent AgNP generation from 1,5-DT-linked disaccharides and the related antimicrobial properties also needed to be probed in the future. The research on VSMCs also triggered interesting results in the area of vinyl sulfoxide-modified carbohydrates,^{167–169} which are beyond the scope of the present topic. It is therefore clearly evident from the information presented in the preceding sections that the vast potential of VSMCs and their downstream products as enantiomerically pure synthetic intermediates with skeletal complexity, including stereochemical diversity, is yet to be realized.

Acknowledgments

T.P. thanks all the past and present MSc project students, research scholars, and postdoctoral fellows for their contributions toward the development of research on vinyl sulfone-modified carbohydrates in his laboratory. Financial support from the Department of Science and Technology, India, the Council of Scientific and Industrial Research, India, and the Indo-French Centre for the Promotion of Advanced Research is gratefully acknowledged. A.B. thanks the Indian Institute of Technology Kharagpur for a research fellowship. Both T.P. and A.B. were compelled to stay away from their families due to the lockdown caused by the COVID-19 pandemic. Ironically, during these uninterrupted days of "family distancing" this article was completed within the target date. Both the authors are indebted to their respective family members for their continuous long-distance support during the preparation of this chapter. The seed of vinyl sulfone chemistry was brought by T.P. from the Laboratory of Professor Jyoti Chattopadhyaya of Uppsala University. The concept was planted and nurtured to grow in a different chemical milieu, initially in the Indian Institute of Technology Kharagpur, India.

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