



A LIBRARY OF NEW SMALL MOLECULES THAT COULD BE USED AS ANTICANCER, ANTIVIRAL DRUGS

NCL Innovations: Solutions from CSIR India

Technology

Nucleosides as antiviral, anticancer drugs

- Nucleosides are compounds containing a purine or pyrimidine base linked to a sugar. Several nucleoside analogues are used as antiviral or as anticancer agents
- Modification of sugar backbone in nucleosides and having access to collections of distinctive small molecules by modifying the sugar backbone is important for identifying new therapeutic candidates for various diseases.
- Recent strategy involves spiroannulation of the sugar backbone resulting in modified nucleosides. Current schemes follow “one scheme one nucleoside” approach – which is very limiting as each modification has to be done separately.

Our technology

- Our technology provides a strategy that allows to synthesize modified nucleosides (spironucleosides) with enormous flexibility to modulate the substituents and properties of the resulting compounds.
- The provision to manipulate the substrate flexibility at the final/penultimate steps – allows this approach to yield a small library of modified nucleosides without synthesizing every compound from the beginning.

Applications

- Drug discovery
- Developing therapeutics for various diseases
 - ▣ Genetic disorders and infections
 - ▣ Anti viral and anti cancer agents
- Chemical genetics
- Identifying new drug candidates

Market Potential

- There are large R&D efforts underway worldwide to identify suitable anti-cancer and ant-viral drugs/agents
- The global cancer therapeutics market is relying heavily of new drug development and is expected to reach \$60.6 billion in 2011*
- The antiviral drug market for 2008 was valued to have been at \$20 billion**

* <http://www.frost.com/prod/servlet/report-toc.pag?repid=F404-01-00-00-00> (viewed 22/05/11)

** www.leaddiscovery.co.uk/reports/1295/Global_Antivirals_Market_Analysis_Forecasts_20082023_Global_Antivirals_Market_Analysis_Forecasts_20082023release/3608/cancer_therapeutics_market_to_reach_59_7_billion_reveals_kalorama_study.html (viewed 27/05/11)

Value

- Flexible process that allows to create a small library of modified nucleosides without undergoing cumbersome processes of synthesizing every molecule from scratch
 - ▣ These libraries of compounds can be used to identify potential drugs, and also significantly reduced development time and efforts
- Good yield in the presence of the recommended catalysts
- The cycloaddition process used here is of high synthetic efficiency
- Easy and flexible penultimate bicycloannulation step involved

Technology Status, IP Status

- Patent application filed
- Demonstrated at lab level
- Ready to be licensed

Links & References

- Schreiber, S. L. (2009) Molecular diversity by design, Nature- News and Views, Vol. 457. Pg: 153- 154.
- Micklefield, J. (2001) Backbone Modification of Nucleic Acids: Synthesis, Structure and Therapeutic Applications, Current Medicinal Chemistry, 8, 1157-1179
- Ramana, C.V. et al. (2011) Target cum Flexibility: an alkyne [2+2+2]-cyclootrimerization strategy for synthesis of trinem library, Tetrahedron Letters, Vol 52 (1), Pg 38-41.

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Summary

Technology Summary	
Technology title	A library of new synthetic small molecules for Anti cancer and anti viral therapeutics
Industry /sector	Pharmaceuticals
Year of development	2010
Related patents (with links)	PCT application filed
Technology readiness level	Demonstrated at lab level
Licensing status	Ready to be licensed
Encumbrances	None
Availability	Yes