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Environmentally Friendly, Electro-mechanical Controller for Adjusting Pump Stroke (2012-076)

New Family of Modified Small Molecules Prevent Bacterial Resistance, Improve Patient Care

Market Overview

These bisbensimidazole derivatives are a new family of modified small molecules that are highly selective and effective in preventing bacterial resistance. The market for antibacterial drugs stood at $43.9 billion in 2014, representing a large market for both animals and humans. New approaches for the discovery of antibacterial drugs and antibacterial coatings are important in the fight against bacterial resistance. Bacterial DNA topoisomerases are one class of enzymes that help in regulating DNA topology and are very good targets for the development of anticancer or antibacterial agents. Clemson University researchers have developed a new family of modified small molecules that include bisbenzimidazole derivatives as antimicrobials and topoisomerase inhibitors. These modified compounds offer several advantages over existing topoisomerase inhibitors, such as being highly selective and effective in preventing antibacterial activity. These compounds therefore are excellent candidates for clinically relevant antibacterial agents.

Application

Antibacterial coatings; pharmaceuticals; antibiotics

Stage of Development

Preliminary Prototype

Advantages

- Utilizes new compounds that take longer to develop bacterial resistance, offering relevant clinical antibacterial agents
- Meets market demand for new agents, improving patient care and accessibility to needed antibacterial drugs

Technical Summary

Overall, the results show that bisbenzimidazoles are excellent inhibitors of *E. coli* DNA topoisomerase I and also display good antibacterial activity. Additionally, and more importantly, the *E. coli* topoisomerase I inhibition is extremely selective as DNA gyrase and mammalian topoisomerases are not inhibited. Clemson University research findings suggest that the ternary complex formed by the bacterial topoisomerase I has distinct sites for small molecule recognition, as compared to those found in DNA gyrase and mammalian topoisomerases, and these differences could be further exploited for antibacterial drug development. Further studies to investigate the mechanism of antibacterial activity and enzyme inhibition are being investigated and will be reported in due course.

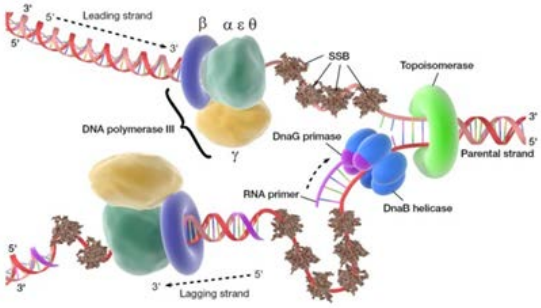

Figure 1: Topoisomerase enzyme helps regulate DNA structure

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App Type	Country	Serial No.	Patent No.	CURF Ref. Number	Inventors
PCT	Patent Cooperation Treaty	PCT/US2014/056619	NA	2012-076	Dev Arya, Nihar Ranjan, Fenfei Leng

About the Inventor

Dr. Dev Arya is a Professor of Bio-Organic and Medicinal Chemistry at Clemson University. He earned his Ph.D. in Bioorganic Chemistry from Northwestern University, Boston. Prior to joining Clemson, he completed postdoctoral studies at UC Santa Barbara. He is the recipient of the National Science Foundation CAREER Award and ACS Horace S. Isbell Award of the Division of Carbohydrate Chemistry. His research interests focus on the understanding, design, and discovery of new motifs for the molecular recognition of biological macromolecules

For More Information

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