

# Benzimidazole Derivatives as Antibacterial Drugs

(2012-076)

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

## Market Overview

These bisbenzimidazole 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.

## 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.

### Application

Bioremediation; environmental contaminants

### Development Stage

Proof of Concept

### Advantages

- Rendered animal co-products act as electron donors, stimulating microbial respiration of reducible environmental contaminants
- Animal co-products contain lipids plus protein, improving contaminant transformation rates
- Greatly reduces cost of bioremediation technology, providing a cost-effective way to transform contaminants
- Rendered co-product electron donor cost can be 10-20% of conventional electron donors

| App Type | Country                   | Serial No.        | Patent No. | CURF Ref. No. | Inventors                                   |
|----------|---------------------------|-------------------|------------|---------------|---|
| PCT      | Patent Cooperation Treaty | PCT/US2014/056619 | NA         | 2012-076      | Dr. Dev Arya<br>Nihar Ranjan<br>Fenfei Leng |



## About the Inventor

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Dr. Dev Arya 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

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