
Asia 3 Roundtable on Nucleic Acids 2024

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2009- Present Professor, Kyungpook National University
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Research Interests:

DNA-encoded library technology, DNA probes

Selected Publications:

1. Ryzhikh D, Seo H, Lee J., Lee J, Nam MH, Song M* **Hwang GT***, On-DNA Mannich Reaction for DNA-Encoded Library Synthesis, *J. Org. Chem.* **2024**, in press
2. An Y, Lee J, Seo H, Bae S, Kang J, Lee J, Kim J, Nam MH, Song M* **Hwang GT***, Groebke–Blackburn–Bienaymé Reaction for DNA-encoded library technology, *Org. Lett.* **2023**, 25, 4445
3. Song M.* **Hwang GT***, Expanding the effectiveness of screening, *Nat. Chem.* **2021**, 13, 515–517.
4. Hong SW, Lee SY, **Hwang GT***, Fluorene-Labeled 2'-Deoxyuridine as an Environmentally Sensitive Probe for Detection of an Abasic Site, *ChemistrySelect* **2020**, 5, 14480–14483.
5. Song M* **Hwang GT***, DNA-encoded library screening as core platform technology in drug discovery: Its synthetic method development and applications in DEL synthesis, *J. Med. Chem.* **2020**, 63, 6578–6599.

On-DNA Synthesis of β -Amino Ketone Derivatives

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Abstract

Over the past years, DNA-encoded library technology (DEL) has evolved from a theoretical idea into a reliable and widely used method for early-stage drug discovery, primarily driven by the innovative efforts of Lerner and Brenner. The core concept of DEL involves using a unique DNA barcode attached to each molecule. This barcode, which consists of a specific oligonucleotide sequence encoding the structure of a building block, allows researchers to easily identify the exact structure of a target molecule within a library containing millions or even billions of compounds. The need for new DNA-compatible reactions is growing, as these reactions are essential for increasing the diversity of compounds in DELs and improving the chances of finding new drug candidates.

This study provides a thorough and detailed investigation into incorporating the synthesis of β -amino ketone derivatives into DEL synthesis. By meticulously optimizing the reaction conditions, we developed a gentle and DNA-compatible setting for the synthesis of β -amino ketone derivatives. A wide-ranging screening of various amine, ketone, and aldehyde building blocks showcased the flexibility and effectiveness of our method. Additionally, we confirmed the stability of the DNA tags, ensuring the dependability of the DNA-encoded compounds throughout the reaction process. These results may significantly broaden the diversity of DEL structures and create new opportunities for drug discovery.