Department of Medicinal Chemistry
Division of Medicinal Chemistry
School of Pharmaceutical Sciences

Faculty Members

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Outline

The main theme of our research group is "Development of new reactions and reagent for the synthesis of biologically active compounds". In particularly, we focus on heterocyclic indole and azaindole derivatives, which are important privileged structures present in several biologically active compounds from both natural sources and synthetic pharmaceuticals.

Main Research Projects in Progress

1) Development of HITAB (2-hydroxy-indoline-3-triethylammonium bromide) (**4**) and 3-Bromo-2-hydroxy-1-tosylazaindolines (**7**) as indole-2,3-epoxide surrogate (Fig. 1)

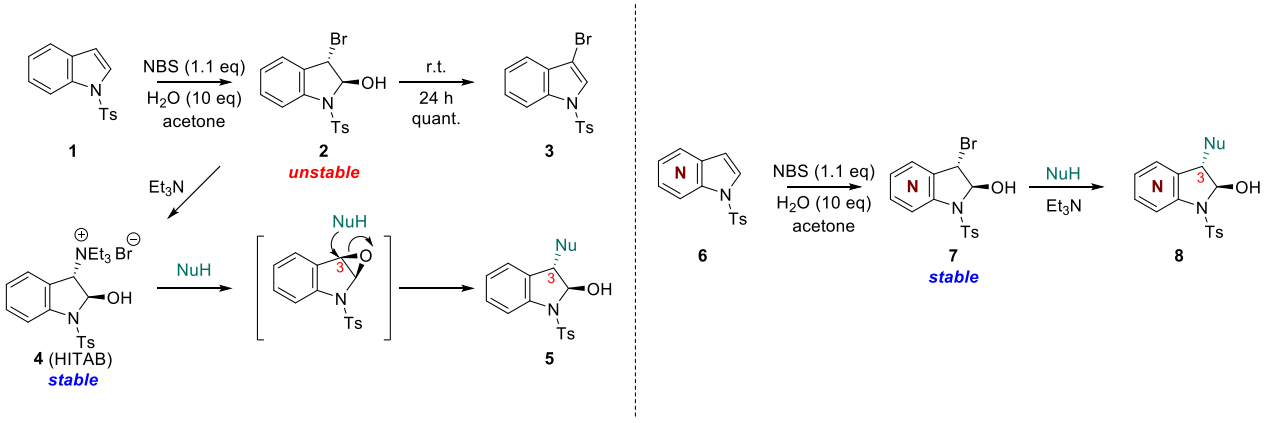

Fig. 1. Formal C3-Electrophilic Reactions of Indoles and Azaindoles

2) Development of ROBIN (2-RO-3-bromoindoline) **9** as a benzyl cation surrogate (Fig. 2)

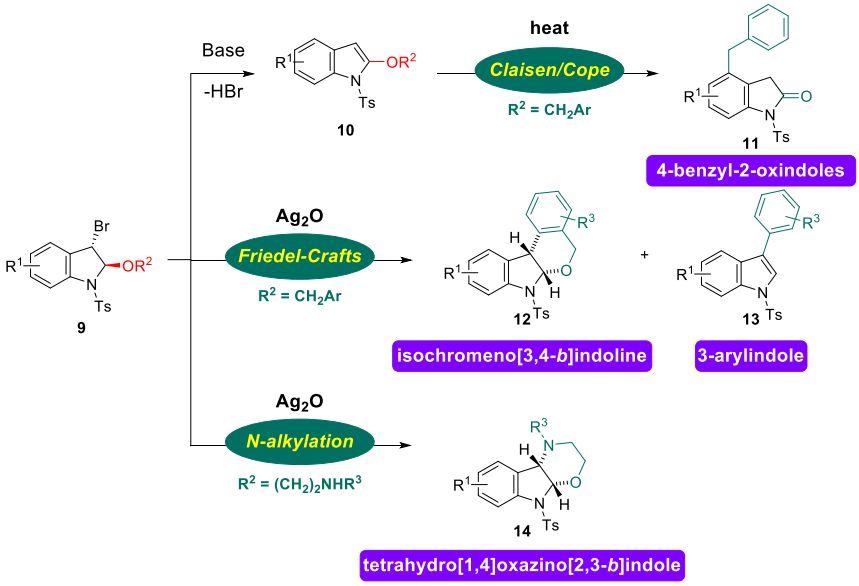

Fig. 2. Reactivity of ROBIN **9**

Current Publications

HITAB

1) 2-Hydroxyindoline-3-triethylammonium Bromide: A Reagent for Formal C3-Electrophilic Reactions of Indoles
   Abe T, Suzuki T, Anada M, Matsunaga S, Yamada K, *Org. Lett.,* **2017**, *19*, 4275–4278.
2) Dehydrative Mannich-type Reaction for the Synthesis of the Azepinobisindole Alkaloid Iheyamine A
   Abe T, Yamada K, *Org. Lett.,* **2018**, *20*, 1469–1472.
3) Double "Open and Shut" Transformation of γ-Carbolines Triggered by Ammonium Salts: One-Pot Synthesis of Multiheterocyclic Compounds
   Abe T, Shimizu H, Takada S, Tanaka T, Yoshikawa M, Yamada K, *Org. Lett.,* **2018**, *20*, 1589–1592.
4) Biomimetic Synthesis of Iheyamine A from Spirocyclic Oxindoles
   Abe T, Satake S, Yamada K, *Heterocycles,* **2019**, *99,* 379–388.
5) Revisiting Furodiindolines: One-Pot Synthesis of Furodiindolines using Indole-2,3-Epoxide Surrogates and Their Synthetic Applications
   Abe T, Aoyama S, Ohmura M, Taniguchi M, Yamada K, *Org. Lett.,* **2019**, *21,* 3367–3371.
6) Development and Application of Indole-2,3-epoxide Surrogates
   Abe T, Yamada K, Nishi T, *J. Syn. Org. Chem*., **2020**, *78*, 597–607.
7) Synthesis and Applications of 3-Bromo-2-hydroxy-1-tosylazaindolines
   Yamada K, Mishima N, Saito K, Nishi T, *Tetrahedron*, **2021**, *97*, 132404.

ROBIN

8) Direct C4-Benzylation of Indoles via Tandem Benzyl Claisen/Cope Rearrangements
   Abe T, Kosaka Y, Asano M, Harasawa N, Mishina A, Nagasue M, Sugimoto Y, Katakawa K, Sueki S, Anada M, Yamada K, *Org. Lett.,* **2019**, *21,* 826–829.
9) Silver-Mediated Intramolecular Friedel–Crafts-type Cyclizations of 2-Benzyloxy-3-bromoindolines: Synthesis of Isochromeno[3,4-*b*]indolines and 3-Arylindoles
   Yamashiro T, Yamada K, Yoshida H, Tomisaka Y, Nishi T, Abe T, *Synlett*, **2019**, *30*, 2247–2252.
10) Revisiting 2-alkoxy-3-bromoindolines: control C-2 vs. C-3 elimination for regioselective synthesis of alkoxyindoles
    Abe T, Kosaka Y, Kawasaki T, Ohata Y, Yamashiro T, Yamada K, *Chem. Pharm. Bull*., **2020**, *68*, 555–558.
11) Syntheses of Heterocycle-2,3-Fused Indoline and Azaindoline Derivatives
    Nishi T, Mishima N, Kato H, Yamada K, *Synlett*, **2021**, *32*, 1034–1038.

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