

[*Tetrahedron*, **57**, 2109-2114 (2001)]

[Lab. of Medicinal Chemistry]

**Undesirable deprotection of *O*-TBDMS groups by Pd/C-catalyzed hydrogenation and chemoselective hydrogenation Using a Pd/C(en) catalyst.**

Kazuyuki HATTORI, Hironao SAJIKI, and Kosaku HIROTA\*

In general, *O*-TBDMS protective groups have been believed to be stable toward Pd/C-catalyzed hydrogenation conditions. In practice, however, frequent and unexpected loss of the TBDMS protective group of a variety of hydroxyl functions occurred under neutral and mild hydrogenation conditions using 10% Pd/C in MeOH. When a 10% Pd/C-ethylenediamine complex catalyst [10% Pd/C(en)] was used instead of 10% Pd/C, the undesirable problem was perfectly overcome and the chemoselective hydrogenation of reducible functionalities leaving intact the TBDMS protective group was achieved.

[*Tetrahedron*, **57**, 4817-4824 (2001)]

[Lab. of Medicinal Chemistry]

**Chemoselective Control of Hydrogenation among Aromatic Carbonyl and Benzyl Alcohol Derivatives Using Pd/C(en) Catalyst.**

Kazuyuki HATTORI, Hironao SAJIKI, and Kosaku HIROTA\*

The hydrogenolysis of aromatic ketones and aldehydes quite smoothly give the corresponding methylene compounds *via* the formation of the intermediary benzyl alcohols in the presence of Pd/C as a catalyst. Therefore, it is extremely difficult to isolate the intermediary benzyl alcohol selectively. This paper describes a mild and chemoselective hydrogenation method of an aromatic carbonyl compound to benzyl alcohol using the 10% Pd/C(en) catalyst and its application to the chemoselective deacetoxylation reaction at the benzylic position in the presence of the benzyl alcohol functionality within the molecule.

[*Heterocycles*, **55**, 2279-2282 (2001)]

[Lab. of Medicinal Chemistry]

**Novel and Efficient Synthesis of 8-Oxoadenine Derivatives.**

Kosaku HIROTA,\* Kazunori KAZAOKA, Itaru NIIMOTO, and Hironao SAJIKI

A novel synthetic method of 8-oxoadenine derivatives (**3** and **4**) is reported. This widely applicable synthetic method is realized through the use of 5-amino-4-cyano-2-oxoimidazole derivatives (**2**) as the key intermediates. This method shows good substituent generality while using relatively nontoxic, inexpensive reagents under mild conditions. A variety of substituents were successfully introduced to the 2- and 9-position of the 8-oxoadenine nucleus.

[*Bioorg. Med. Chem. Lett.*, **11**, 363-365 (2001)]

[Lab. of Pharm. Synthetic Chemistry]

**Synthesis and Photodynamic Activity of a Cationic Zinc Monoazaporphyrin Bearing a Nitrogen Atom at the Peripheral Position.**

Shigeo KAI, Seiji HIRAMITSU, Mikio SUZUKI, and Yukio MASAKI\*

A new cationic monoazaporphyrin, zinc 2-aza-8,12,13,17-tetraethyl-2,3,7,18-tetramethylporphyrinium iodide **3** was synthesized. Photodynamic activity of **3** in degradation of 2',3'-isopropylidene-guanosine **4** was compared with 2-aza-8,12,13,17-tetraethyl-3,7,18-trimethylporphyrin **1**, zinc 2-aza-8,12,13,17-tetraethyl-3,7,18-trimethylporphyrin **2**, and hematoporphyrin **5**. The quaternary ammonium **3** showed a remarkable increase of photodynamic activity compared with **5**, although no appreciable difference in the activity was observed between **1** and **5**.