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[Lab. of Pharm. Chemistry]

**Protein Kinase C Involvement in Homologous Desensitization of δ -Opioid Receptor
Coupled to G₁₁-Phospholipase C Activation in *Xenopus* Oocytes**

HIROSHI UEDA, TAKEAKI MIYAMAE, CHIFUMI HAYASHI, SHIGERU WATANABE,
NOBUYUKI FUKUSHIMA, YUKIO SASAKI, TATSUNORI IWAMURA* AND YOSHIMI MISU

δ -Opioid receptor(DOR1), coexpressed with M₂-muscarinic receptor, mediated agonist-evoked currents due to common post-receptor mechanisms including G₁₁ and phospholipase C activation in *Xenopus* oocytes reconstituted with G₁₁ α . The desensitization of DOR1- currents by δ -opioid agonists was selectively reversed both by protein kinase C inhibitors, and by an intracellular injection of calcineurin, a protein phosphatase 2B. This and related results suggest that protein kinase C is involved in the homologous desensitization of δ -opioid receptors.

[Tetrahedron Lett., **36**, 2633-2636 (1995)]

[Lab. of Medicinal Chemistry]

**Photoinduced Electron-Transfer Oxygenation of 7-Methyl-9-
(β -D-ribofuranosyl)guaninium Salts: A Prominent Effect of
Iodide Anion**

YUKIO KITADE, YOSHIFUMI TAKEDA, KOSAKU HIROTA*, YOSHIFUMI MAKI

Irradiation of 7-methyl-9-(2,3,5-tri-*O*-acetyl- β -D-ribofuranosyl)guaninium iodide (**1a**) in acetonitrile with a 260 nm UV-light resulted in the formation of 2',3',5'-tri-*O*-acetyl-7-methyl-8-oxoguanosine (**2**). Similar irradiation of the corresponding guaninium bromide (**1b**) and perchlorate (**1c**) resulted in no formation of (**2**). Addition of KI to the solution of (**1c**) led to the formation of (**2**). Therefore, the present photooxygenation requires to transfer an electron of iodide anion with low oxidation potential to the photoexcited guaninium moiety.

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[Lab. of Medicinal Chemistry]

**Facile Synthesis of 7,8-Dimethyl-10-D-ribitylpyrimido[5,4-*b*][1,4]benzothiazine-
2,4(1*H*,3*H*)-dione, a Deaza-thia Analog of 1,5-Dihydroriboflavin.**

MAGOICHI SAKO*, TAKAHIRO ICHIOKA, REIKO TOTANI, KOSAKU HIROTA

10-Substituted 7,8-dimethylpyrimido[5,4-*b*][1,4]benzothiazine-2,4(1*H*,3*H*)-diones are of chemical and biological interest in view of the fairly stable analogs of reduced flavins, *e.g.*, 1,5-dihydroriboflavin, FMNH₂, and FADH₂. Along this line, the first synthesis of 10-D-ribityl derivative (**1**) has been accomplished by Hemmerich et al. in 1976. This synthetic method, however, was inadequate for the preparation of 5-deaza-thia analogs of FMNH₂ and FADH₂, since the efficiency of the thiazine-ring formation was a very low. We accomplished new synthesis of (**1**) starting from 6-(2-amino-4,5-dimethylphenyl)thio-5-bromouracil *via* regioselective ribitylation followed by S-N type Smiles rearrangement, which provides a clue to the preparation of the 5-deaza-thia analogs of FMNH₂ and FADH₂.