

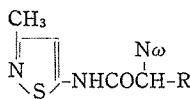




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|-------------|--|
| Title       | Synthesis of 5-(Aminoacylamido)-3-methylisoxazole Derivatives and Their Analgesic Action   |
| Author(s)   | Uyeno, shojiro; Fujimura, Hajime; Asai, Atsushi  |
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**Synthesis of 5-(Aminoacylamido)-3-methylisothiazole  
Derivatives and Their Analgesic Action**

Shojiro UYEO, Hajime FUJIMURA and Atsushi ASAI

*Yakugaku Zasshi (Journal of the Pharmaceutical  
Society of Japan)*, 83, 195 (1963)

5-(2-Haloacylamido)-3-methylisothiazoles were prepared by treatment of 5-amino-3-methylisothiazole with 2-haloacyl halides and afforded with dimethylamine, diethylamine, piperidine, morpholine and pyrrolidine the corresponding 5-(2-alkyl-aminoacylamido)-3-methylisothiazoles respectively. Interaction of 5-amino-3-methylthiazole and *p*-nitrobenzoyl chloride gave 5-(*p*-nitrobenzamino)-3-methylisothiazole which was reduced with iron in acetic acid to afford 5-(*p*-aminobenzamido)-3-methylisothiazole. Analgesic action and toxicity of these compounds were tested and most of them, especially compounds V, VI, X, and XI were more effective than aminopyrine.

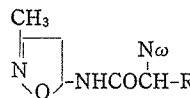


|   | Compd.<br>No. | Substituents    |  |
|---|---------------|-----------------|--|
|   |               | R               | N $\omega$   |
|  | V             | H               |   |
|   | VI            | H               |   |
|   | X             | CH <sub>3</sub> |   |
|   | XI            | CH <sub>3</sub> |  |

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|   | Compd.<br>No. | Substituents    |   |
|---|---------------|-----------------|---|
|   |               | R               | N $\omega$  |
|  | VI            | H               |  |
|   | XI            | CH <sub>3</sub> |  |