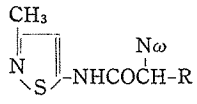
Synthesis of 5-(Aminoacylamido)-3-methylisothiazole Derivatives and Their Analgesic Action

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-alkylaminoacylamido)-3-methylisothiazoles respectively. Interaction of 5-amino-3-methylthiazole and *p*-nitrobenzoyl chloride gave 5-(*p*-nitrbenzamino)-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. No.	Substituents R	Substituents Nω
V	H	
VI	H	
X	CH_3	
XI	CH_3	

Synthesis of 5-(Aminoacylamido)-3-methylisoxazole Derivatives and Their Analgesic Action

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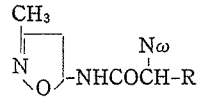
5-(2-Haloacylamido)-3-methylisoxazoles were prepared by treatment of 5-amino-3-methylisoxazole with 2-haloacyl halides and afforded with dimethylamine, diethylamine, piperidine, morpholine, and pyrrolidine the corresponding 5-(2-alkylaminoacylamido)-3-methylisoxazoles respectively. Examination of analgesic action and toxicity showed that compounds VI and XI were more favorable than aminopyrine.



Compd. No.	Substituents R	Substituents Nω
VI	H	
XI	CH_3