〔Chem. Pharm. Bull., **35**, 2382 (1987)〕

Sulfur-Containing Acylamino Acids. I. Syntheses and Angiotensin I Converting Enzyme-Inhibitory Activities of Sulfur-Containing *N*-Mercaptoalkanoyl Amino Acids.

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N-Mercaptoalkanoyl derivatives of sulfur-containing amino acids were synthesized and examined for inhibitory effects on angiotensin I converting enzyme (ACE) extracted from rabit lung. Inhibition of ACE was determined by means of a spectrometric assay with hippuryl-L-histidyl-L-leucine as a substrate. Among the synthesized sulfur-containg compounds, *N*-(2-benzyl-3-mercaptopropanoyl)-*S*-methyl-L-cysteine and *N*-(2-benzyl-3-mercaptopropanoyl)-*S*-ethyl-L-cysteine showed the most potent inhibitory effects on ACE activity.

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Sulfur-Containing Acylamiuo Acids. II. Syntheses and Angiotensin I Converting Enzyme-Inhibitory Activities of *N*-Mercaptoalkanoyl-*S*-ethyl-*L*-cysteine.

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N-Mercaptoalkanoyl derivatives of sulfur-containing amino acids were synthesized as candidate angiotensin I converting enzyme (ACE) inhibitors. Among them, *N*-〔3-mercapto-2-(4-methoxybenzyl) propanoyl〕-*S*-ethyl-L-cysteine was found to be the most potent inhibitor of ACE, with an IC_{50} value of 0.045μM. The maximum hypotensive effect of this compound was almost equal to that of captopril in anesthetized rats.

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Synthesis of 6-Substituted Purines from 3,7-Dimethyl-6-methylthio-2-oxopurine.

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Nucleophilic substitution of 3,7-dimethyl-6-methylthio-2-oxopurine with alcoholates or carbanions of active methylene compounds affords the corresponding 6-alkoxy- or 6-alkylidene-3,7-dimethyl-2-oxopurines, respectively, in good yields. The new method is valuable for the synthesis of the novel compounds with a carbon chain at the 6-position of the purine ring. This nucleophilic substitution at 6-position was performed by the direct substitution of methylthio group.