

Synthesis, Inhibitory Effect on Platelet Aggregation and Antihypertensive Activity of 3-Imidazoline- and Imidazolidine-2-carboxylic Acids and 1,4-Dihydroxy-2,3-piperazinediones

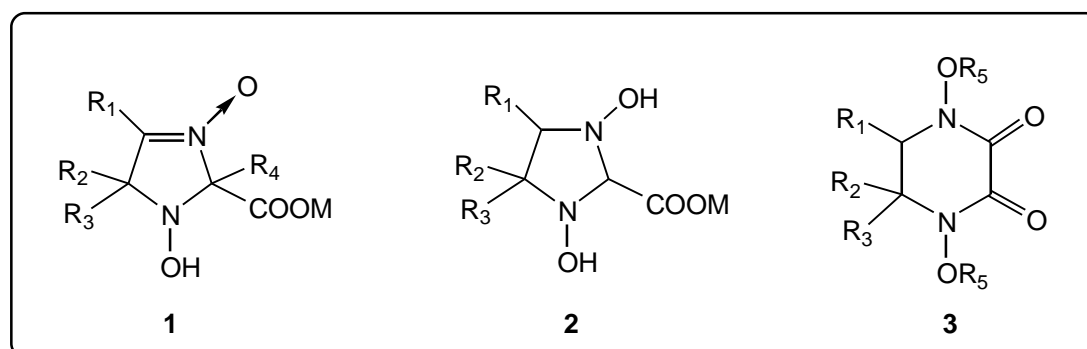
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As a part of our ongoing study of 1,2-hydroxylamino-oximes and their hydrogenated derivatives - 1,2-bishydroxylamines - we have synthesized 3-imidazoline- and imidazolidine-2-carboxylic acids **1** and **2** by the reaction of the aforementioned compounds with glyoxylic and pyruvic acids. 1,4-Dihydroxy-2,3-piperazinediones **3** were prepared by the condensation of 1,2-bishydroxylamines with diethyloxalate. Inhibitory effect on platelet aggregation and antihypertensive activity of compounds **1-3** were examined.



R₄=H, Me

M=H, K

R₅=H, Me

	a	b	c	d	e
R ₁	CH ₃	Ph			
R ₂	CH ₃	CH ₃	(CH ₂) ₄	(CH ₂) ₄	(CH ₂) ₅
R ₃	CH ₃	CH ₃	H	CH ₃	H

It was found that 3-imidazoline- and imidazolidine-2-carboxylic acids **1** and **2**, 1,4-dihydroxy-2,3-piperazinediones **3** have showed *in vitro* inhibitory effect on platelet aggregation. 1-Hydroxy-2-methyl-1,5,6,7,8,8a-hexahydro-2*H*,4*H*-cycloheptaimidazole-2-carboxylic acid 3-oxide **1e** also exhibited marked *in vivo* antihypertensive activity. All synthesized compounds displayed low acute toxicity.