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Rhodanine 3-carboxylic acids as potential NSAIDs

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Our research was dedicated to the search of new effective synthetic nonsteroidal anti-inflammatory drugs (NSAIDs) among the derivatives of 2-thionethiazolidone-4 (rhodanine). Structure activity studies on more than 300 substances led to the conclusion that the character of any substituent at position 5 of the thiazolidine is the main determinant of anti-inflammatory activity (Horishny et al 1995; Lesyk et al 1997, 1998). We believe that rhodanines with various cinnamic aldehyde substituents could be lead structures for potential NSAIDs.

We synthesized 3-carboxy(dicarboxy)alkylrhodanines by the dithiocarbaminated method, using β-alanine, γ-aminobutyric, asparaginic and glutaminic acids. The synthesized substances were condensed with cinnamic, 4-nitro-α-chloro-cinnamic and α-methylcinnamic aldehydes to form 5-ilidene derivatives. Sodium and potassium salts were prepared for improved pharmaceutical and biopharmaceutical properties.

The anti-inflammatory activity of the new compounds was determined using the rat-paw oedema test (formalin). The new compounds displayed anti-inflammatory activity at least equal (50–100 mg kg^{-1}, activity 40–60%) to that of diclofenac sodium (8 mg kg^{-1}, activity 52%), phenylbutazone (50 mg kg^{-1}, activity 40%), or aspirin (100 mg kg^{-1}, activity 45%).

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