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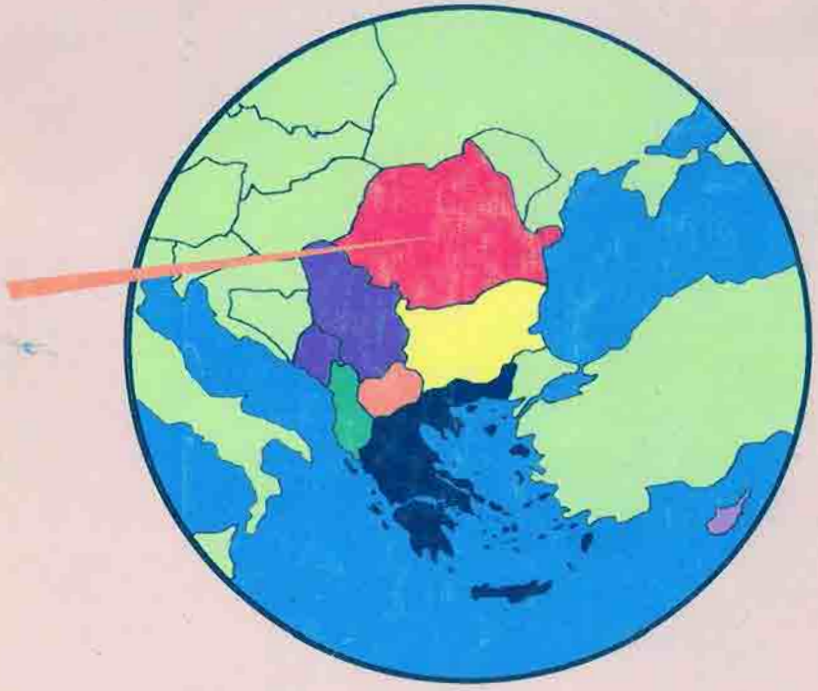

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ROMANIA

PO 411

ANTIBACTERIAL ACTIVITIES OF SOME BENZALACETONE DERIVATES

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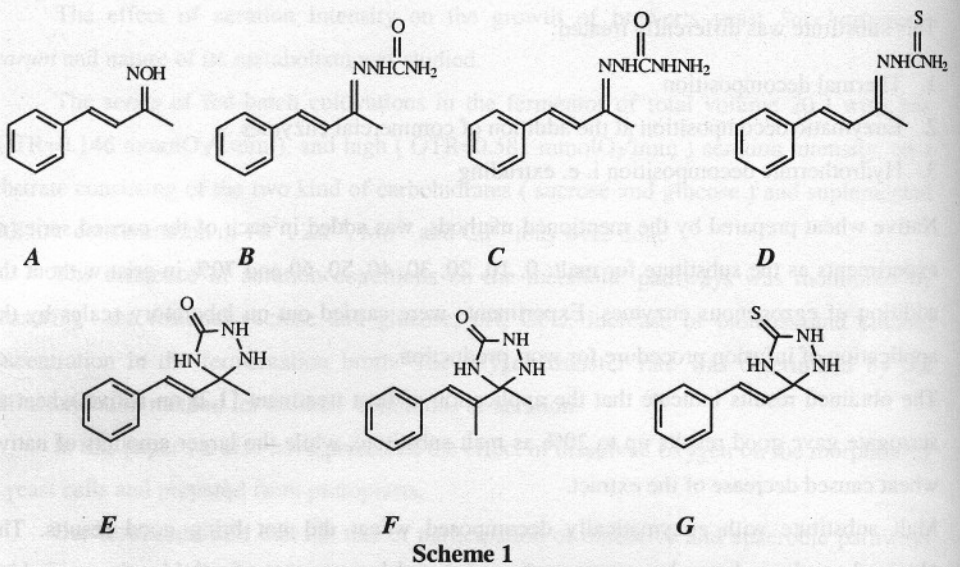
We have synthesized a group of bezalacetone derivates in their reaction with hidroxylamine, carbohydrazide, semicarbazide and thiosemicarbazide (Scheme 1).



Scheme 1

The antibacterial activities of compounds investigated were done by disc diffusion and microdilution methods against *Micrococcus luteus, Bacillus subtilis, Escherichia coli, Streptococcus faecalis, Staphylococcus aureus* and *Pseudomonas aeruginosa.* All the compounds tested exhibited antibacterial activities in microdilution method with MBC of 0.125-0.5 mg/ml. The compound **D** (Benzalacetone-thiosemicarbazone) possessed the greatest antibacterial activity, while **B** and **C** showed the lowest activities. Chloramphenicol was used as a positive control (Table 1).

Bacterial species	***A***	***B***	***C***	***D***	***E***	***F***	***G***	**Chloram-phenicol**
E. coli	0.125	0.5	0.5	0.125	0.25	0.125	0.125	0.1
M. luteus	0.25	0.5	0.5	0.125	0.5	0.125	0.25	0.1
B. subtilis	0.25	0.5	0.5	0.125	0.5	0.25	0.25	0.1
B. cereus	0.25	0.5	0.5	0.125	0.5	0.25	0.5	0.2
S. aureus	0.5	0.5	0.5	0.25	0.5	0.25	0.5	0.2
S. faecalis	0.5	0.5	0.5	0.25	0.5	0.25	0.5	0.2
P. aeruginosa	0	0	0	0	0	0	0	>1

Table 1. Minimum bactericidal concentrations (MBC-mg/ml)

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ANTIBACTERIAL ACTIVITIES OF 2-CARBOXYMETHYLSULFANYL-4-OXO-4-ARYLBUTYRIC ACIDS

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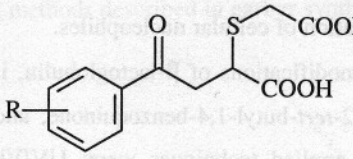
It is known that compounds having mercapto and carboxylic moieties in their structure have potent physiological [1] and antibacterial [2] activities. In Michael-type addition of thioglycolic acid to series of (*E*)-4-aryl-4-oxo-2-butenoic acids we have synthesized a series of 17 2-carboxymethylsulfanyl-4-oxo-4-arylbutyric acids (Scheme 1).



R = H-, Me-, Et-, *i*-Pr-, *n*-Bu, *sek*-Bu, *tert*-Bu, 2,3-di-Me, 2,4-di-Me, 2,5-di-Me, *n*-dodecyl, 3,4,5-tri-MeO, F-, Cl-, Br-

Scheme 1

The antibacterial activities of the investigated compounds were done by disc diffusion method against *Micrococcus luteus*, *Bacillus subtilis*, *Escherichia coli* and *Pseudomonas aeruginosa*. All compounds showed antibacterial activities against all the species tested, except *P. aeruginosa* in concentration of 0.05 - 2 mg/disc. The two compounds, 2-carboxymethylsulfanyl-4-oxo-4-(2,5-di-*i*-Pr-phenyl)butyric acid and 2-carboxymethylsulfanyl-4-oxo-4-(4-*n*-Bu-phenyl)butyric acid showed the greatest antibacterial activities. Streptomycin was used as a positive control.

[1] Chem. Pharm. Bull. 36(6) 2050 (1988) and reference cited therein
[2] J. Chem. Research (S) 377 (1990) and reference cited therein

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