

ABSTRACT:

In this rigorous paper three problems were solved: a) synthesis and antimycobacterial activity of new halogenated salicylanilides substituted in position 4' with branch chain. b) synthesis and antimycobacterial activity of new halogenated 3-(4-alkylphenyl)-1,3-benzoxazine-2,4-(3H)-diones. c) influence of replacement of oxo group by thioxo group in the previously group of compounds.

a) It was synthesized 8 halogenated derivatives of 4'-alkylsalicylanilides with branched alkyl chain. These compounds were evaluated *in vitro* on antimycobacterial activity against *Mycobacterium tuberculosis*, *Mycobacterium kansasii* and *Mycobacterium avium*.

b) It was synthesized 7 halogenated derivatives of benzoxazinediones with branch chain by reaction of salicylanilides with methylchloroformiate. Antimycobacterial activity of synthesized compounds was evaluated against three different mycobacterial strains. The most active compound was 7-chloro-3-(4-sec-butylphenyl)-1,3-benzoxazine-2,4-(3H)-dione.

c) There were synthesized the following compounds: 7-chloro-3-(4-isopropylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H)-one, 6-bromo-3-(4-isopropylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H)-one, 6-bromo-3-(4-sec-butylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H)-one, 6-chloro-3-(4-sec-butylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H)-one, 7-chloro-3-(4-isopropylphenyl)-1,3-benzoxazine-2,4(3H)-dithione, 6-bromo-3-(4-sec-butylphenyl)-1,3-benzoxazine-2,4(3H)-dithione, 6-bromo-3-(4-isopropylphenyl)-1,3-benzoxazine-2,4(3H)-dithione, and 6-chloro-3-(4-sec-butylphenyl)-1,3-benzoxazine-2,4(3H)-dithione. These compounds were evaluated *in vitro* on antimycobacterial activity against *Mycobacterium tuberculosis*, *Mycobacterium kansasii* and *Mycobacterium avium*. 6-Bromo-3-(4-isopropylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H) –one and 6-bromo-3(4-sec-butylphenyl)-4-thioxo-2H-1,3-benzoxazine-2(3H)-one showed the best activity against *M. tuberculosis*. INH was used as a standard. The replacement of oxo group by thioxo group in position 4 in 3-(4-alkylphenyl)-1,3-benzoxazine-2,4(3H)-diones led to increasing antimycobacterial activity against *M. tuberculosis*.