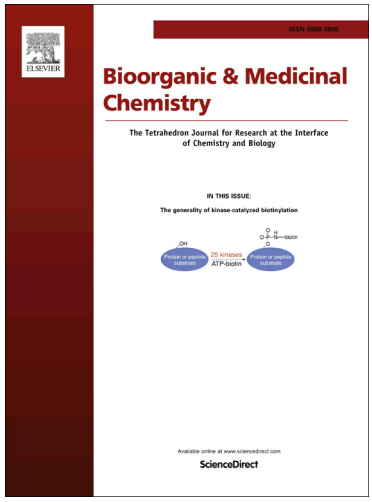
Accepted Manuscript



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PII: S0968-0896(16)30882-3
DOI: http://dx.doi.org/10.1016/j.bmc.2017.01.016
Reference: BMC 13495

To appear in: *Bioorganic & Medicinal Chemistry*

Received Date: 4 October 2016
Revised Date: 9 January 2017
Accepted Date: 12 January 2017

Please cite this article as: Paraskevopoulos, G., Monteiro, S., Vosátka, R., Krátký, M., Navrátilová, L., Trejtnar, F., Stolař íková, J., Vinšová, J., Novel salicylanilides from 4,5-dihalogenated salicylic acids: Synthesis, antimicrobial activity and cytotoxicity, *Bioorganic & Medicinal Chemistry* (2017), doi: http://dx.doi.org/10.1016/j.bmc.2017.01.016

Novel salicylanilides from 4,5-dihalogenated salicylic acids: Synthesis, antimicrobial activity and cytotoxicity

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Abstract

Salicylanilides have proved their activity against tuberculosis (TB). One weak electron-withdrawing substituent is favored at the salicylic part, specially Cl or Br atoms at positions 4 or 5. On the other hand, the antimycobacterial activity of salicylanilides is negatively affected when a strong electron-withdrawing substituent ($-NO_2$) is present at the same positions. Herein we describe the synthesis and characterization of novel salicylanilides possessing two weak electron-withdrawing groups (halogen atoms) at their salicylic part and compare their antitubercular activity with their monohalogenated analogues. All dihalogenated derivatives proved to possess antitubercular activity at a very narrow micromolar range (MIC= 1-4 μM), similar with their most active monohalogenated analogues. More importantly, the most active final molecules were further screened against multidrug resistant strains and found to inhibit their growth at the range of 0.5-4 μM.

Keywords

Salicylanilides
Double halogenation
Tuberculosis
In vitro antimycobacterial activity
Cytotoxicity

Graphical abstract