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Design, synthesis and biological evaluation of antimycobacterial agents from betulinic acid, oleanolic acid and its derivatives

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Tuberculosis (TB) is a dangerous disease that has killed several millions of people globally in recent times. The available drugs for the treatment of the disease are not effective for complete cure and in most cases, usually come with side effects, as a result of which new set of potent drugs are needed.

In a quest to develop potent hit/drug leads for TB, betulinic acid (BA) and oleanolic acid (OA) were isolated respectively from *Curtisiadentata* and *Syzigumaromaticum*. The 3-O- Acetyl analogue of BA and OA were synthesized. The cinnamic acid conjugates at C-28 position of the four (4) synthesized compounds were all characterized using IR, MS and ^{1}H and ^{13}C NMR.

All the test compounds exhibited anti-TB activity, albeit to different levels of efficacy. The MIC values of the two pentacyclictriterpenes (BA and OA) against the mycobacterium ranged from >109.48uM and 42.04uM respectively. The acetylation of BA and OA at C-3 position did not observably improve their activity (MIC value of 39.70uM and 100.26uM) andneither did the cinnamic acid ester of BA and OA at C-28 position enhance the anti-TB activity (MIC value of >85.20uM and 48.05uM respectively). The di-substituted, 3-O-Acetyl and 28- cinnamic acid ester of BA and OA however exhibited some enhanced anti-TB activity with MIC value of 17.88uM.

Biography:

Victor Olugbenga Fadipe completed his PhD degree in Organic Chemistry (Natural product/Synthesis) at University of Zululand, KwaDlangenzwa, South Africa. He has more than 10 papers in reputable Journals. His research interest is in the bioprospecting for drug lead candidate for infectious tropical diseases from medicinal plant. He is a member of several learned society in chemistry.