UNSATURATED BETULIN GLYCOSIDES, THEIR HYDROGENATION AND ANTICANCER ACTIVITY

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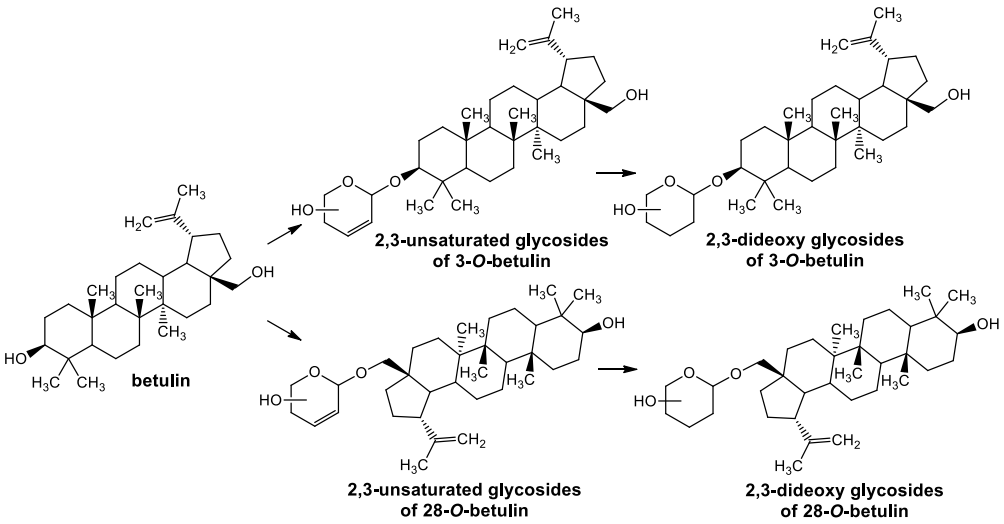
Betulin and its derivatives exhibit a wide range of biological activities, including anticancer, anti-inflammatory, antiviral and antiparasitic properties [1]. Glycosylation of betulin introduces a biorecognition fragment while maintaining its biological activity [2-4].
In search of betulin derivatives with improved anticancer properties, we synthesized a series of 2,3-unsaturated glycosides of 3-*O*- and 28-*O*-betulin (Figure). An adapted Ferrier rearrangement was used for this purpose [5]. Subsequently, obtained glycosides were treated with *in situ* generated diazene, leading to the formation of 2,3-dideoxy glycosides. Reaction conditions used enable hydrogenation exclusively within the sugar moiety while preserving the double bond in aglycone.
The anticancer activities of both 2,3-unsaturated and 2,3-dideoxy betulin glycosides were evaluated on prostate cancer (PC3) and breast cancer (MCF-7) cells and compared to the activity of betulin. Additionally, the selectivity of the tested glycosides was assessed in relation to non-cancerous keratinocyte (HaCaT) cells.



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