Structural Modifications of Dracoflavan B and Their Alpha-Amylase Inhibition

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Host: **Dr. Leong Lai Peng**
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Abstract

Type II diabetes is an escalating problem worldwide where the number of cases can rise up to 552 million by the year 2030. Effective hyperglycaemia control can be achieved via the retardation of starch digestive enzymes like α-amylase which have been targeted by many anti-diabetic drugs. In this research, the A and B type dracoflavan B inhibition against pancreatic α-amylase were investigated. Additionally, a series of chemical modifications such as esterification, sulphation and demethylation were performed on dracoflavan B to probe its structural activity relationship (SAR) towards α-amylase inhibition. Both the A and B type dracoflavan B strongly suppressed the hydrolysis of starch by α-amylase with IC_{50} values of 23.2 and 27.6 μM respectively. Comparatively, the A type dracoflavan B is only 16% more potent than their B type diastereomer. This result highlighted that there is no stereospecific requirement for dracoflavan B to inhibit α-amylase. Conversely, SAR studies demonstrated that the hydroxyl and methoxy groups of dracoflavan B are essential for its α-amylase retardation. The turbidity assay results on starch digestion revealed that esterification, sulphation and demethylation on these functional groups led to loss of α-amylase inhibition. The SAR finding provides an important direction for future dracoflavan B modifications to enhance its α-amylase inhibition.