Design, modification of phyllanthone derivatives as anti-diabetic and cytotoxic agents

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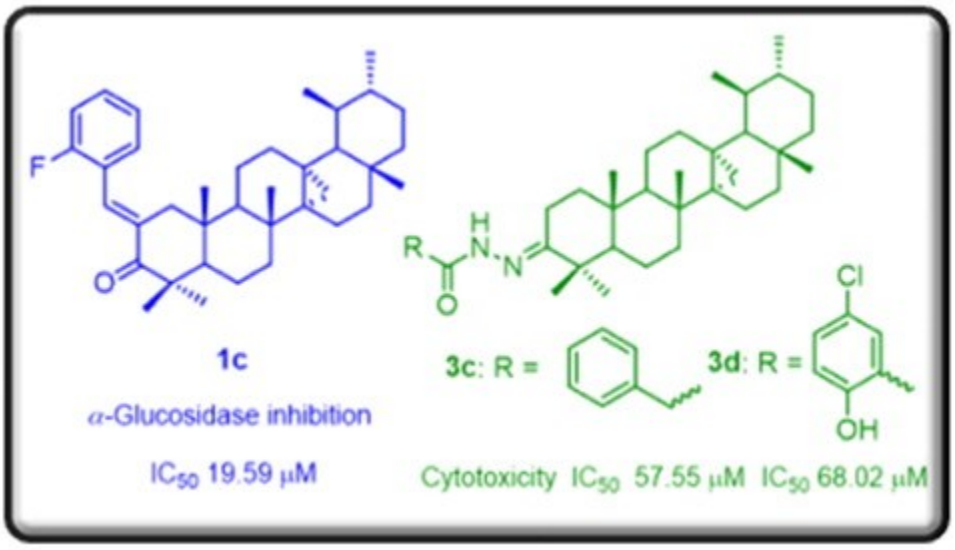
Twelve benzylidene derivatives, one Baeyer-Villiger oxidative, six imine derivatives were successfully designed and synthesised from phyllanthone. In the search for potential new anti-diabetic agents, phyllanthone along with its benzylidene and oxidation analogues were evaluated for enzyme inhibition against α-glucosidase. In the benzylidene series, most analogues displayed stronger activity than the mother compound. Compound **1c** revealed the strongest activity, outperforming the acarbose positive control with an IC_{50} value of 19.59 µM. Phyllanthone and its derivatives were then tested for cytotoxic activity against the K562 cell line. The imine analogues displayed the most powerful cytotoxic activity with **3c**and **3d** having IC_{50} values of 57.55 and 68.02 µM, respectively.



Keywords:

Phyllanthus (Phyllanthaceae), phyllanthone derivatives, α-glucosidase inhibition, cytotoxic activity