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Potent bacterial neuraminidase inhibitors, anthraquinone glucosides from *Polygonum cuspidatum* and their inhibitory mechanism

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The roots of *P. cuspidatum* are used as popular food stuff for inflammation that has deep relation with bacterial neuraminidase (BNA). Methanol extract showed significant BNA inhibition (50 µg per ml) and mainly consisted of chromophoric polyphenols, which are analyzed by chromatographic isolation and UPLC-PDA-Q-TOF/MS. The principal BNA inhibitory compounds (2-6) were identified as emodin (2), physcion-8-O-β-D-glucopyranoside (3), emodin-8-O-β-D-glucopyranoside (4), emodin-1-O-β-D-glucopyranoside (5) and 2-methoxy-6-acetyl-7-methyljuglone (6). Interesting facets was that anthraquinone glucosides (3-5) showed 10-fold more potent inhibition than the corresponding aglycones (1 and 2). For example emodine (2) showed 5.4 µM of IC₅₀, while its glucosides (4 and 5) had IC₅₀ values of 0.85 µM and 0.43 µM respectively. Similar trend was observed on physcion (1, IC₅₀>200 µM) and its glucoside (3, IC₅₀=6.2 µM). Kinetic behaviors were fully characterized with the changes of Km and V_{max}, the ratios of KI/KIS and Kik/Kiv, and fluorescence quenching effect. The anthraquinone (2) was mixed type I inhibitor, while its glucosides (3 and 5) were noncompetitive ones. The affinity constants (KSA) of inhibitors increased in proportion to their inhibitory potencies. Furthermore the most active neuraminidase inhibitors were proven to be present in higher quantities in the native roots.

Biography

Zuopeng Li is currently a PhD student in the Division of Applied Life Science, Gyeongsang National University, Republic of Korea.

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