Multifunctional bioactive components screened out from herbal medicine using multi-target ultrafiltration

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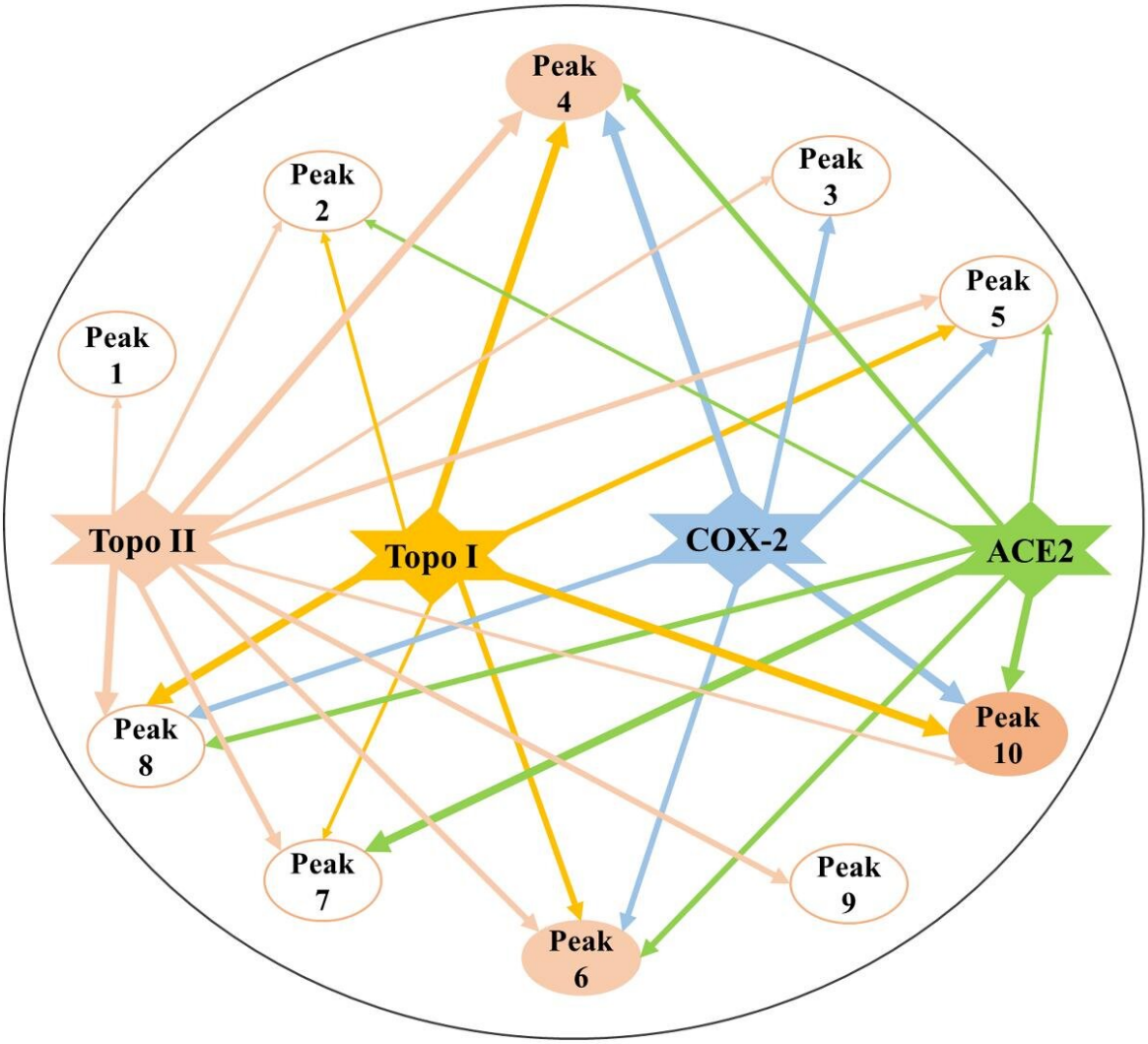

The constructed multi-component and multi-target network incorporating the

potential bioactive components screened out with multiple drug targets. Credit: WBG

Podophyllum sinense, a folk herbal medicine, possesses multiple phytochemicals and extensive pharmaceutical activities. Modern pharmacological studies have indicated that P. sinense has remarkable activities, such as anti-proliferative, anti-inflammatory, anti-viral effects, etc. However, the specific functional constituents responsible for anti-proliferative, anti-inflammatory and anti-viral activities remain unknown.

To address this bottleneck, supervised by Prof. Guo Mingquan from the Wuhan Botanical Garden of the Chinese Academy of Sciences, Feng Huixia aimed to find out the correlations between potential bioactive components from P. sinense extracts and multiple activities.

Affinity ultrafiltration with topoisomerase I (Topo I), Topo II, cyclooxygenase 2 (COX-2), and angiotensin-converting enzyme II (ACE2) coupled with high performance liquid chromatography—the triple quadrupole mass spectrometer electrospray ionization—mass spectrometry / mass spectrometry technology was then developed to meet this demand.

Several active ingredients were screened out and the subsequent validation experiments in vitro indicated that two key compounds, diphyllin and podophyllotoxin, exhibited higher inhibitory rate against A549 (non-small lung cell cancer) and HT-29 (colon cancer) cells compared with 5-fluorouracil and etoposide.

Meanwhile, diphyllin displayed significant COX-2 inhibitory activity with the IC50 value at 1.29 ± 0.14 mM by comparison with

indomethacin at 1.22 ± 0.08 mM.

Furthermore, several representative components against Topo I, Topo II, COX-2, and ACE2 were also confirmed by molecular docking analysis.

This is the first report to succeed in exploring the selective inhibitors responsible for its pharmaceutical activities correlated to multiple drug targets from P. sinense, and this study is conducive to discover more novel and curative agents from P. sinense or other medicinal plants.

Relevant results have been published in *Frontiers in Pharmacology*.

More information: Huixia Feng et al, Exploring Multifunctional Bioactive Components from Podophyllum sinense Using Multi-Target Ultrafiltration, *Frontiers in Pharmacology* (2021). DOI: 10.3389/fphar.2021.749189