



## Novel Caffeic Acid Phenethyl Ester (CAPE) Analogues as Immunoregulatory Agents: Synthesis and SAR Study

Tianliang LIU<sup>1</sup>, Li DENG<sup>1,2\*</sup>, Xiaoli LI<sup>1</sup>, Qin DU<sup>1</sup>, Li CHEN<sup>1</sup>, Xiaohua LIU<sup>1</sup> & Zhubo LI<sup>1\*</sup>

<sup>1</sup> College of Pharmaceutical Sciences, Southwest University, Chongqing, 400716, China

<sup>2</sup> Chongqing center for Adverse Drug Reaction Monitoring, Chongqing, 400014, China

**SUMMARY.** Two novel caffeic acid phenethyl ester (CAPE) analogues, (*E*)-4-hydroxyphenethyl 3-(3,4-dihydroxyphenyl)acrylate (HPDHPA) and (*E*)-4-nitrophenethyl 3-(3,4-dihydroxyphenyl) acrylate (NPDHPA) were synthesized according to the relationship between the antitumor activity and ClogP values of the known CAPE derivatives calculated by CambridgeSoft Corporation Chemoffice 8.0. The effects of the two synthesized CAPE analogues on increasing the white blood cell (WBC) count, spleen index, thymus index and the level of nitric oxide against the immunosuppressed mice were studied. The results indicated that the immunoregulatory activity increased at the low dose of HPDHPA and NPDHPA (HPDHPA group > NPDHPA group > CAPE group) (3 mg/kg), and the activity increased with the decrease of ClogP. In addition, the immunoregulatory activity was affected by other chemical parameters like total energy at high dose of the novel CAPE analogues.

**KEY WORDS:** Caffeic acid phenethyl ester analogues, Immunoregulatory activity, Structure and activity relationship (SAR).

\* Authors to whom correspondence should be addressed. *E-mail:* lizhubo2007@163.com (Zhubo Li) or dengli1021@163.com (Li Deng).