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Dihydroxyphenyl glyceric acid biopolyether of plant origin-prospective therapeutic agent

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The structure elucidation of main structural element of high-molecular water-soluble fractions from different species of comfrey Symphytum asperum, S.caucasicum, S.officinale, S.grandiflorum and bugloss Anchusa italica (Boraginaceae) was carried out. According to ¹³C, ¹H NMR, APT, 1D NOE, 2D heteronuclear ¹H/¹³C HSQC and 2D DOSY experiments the main structural element of these preparations was found to be poly[oxy-1-carboxy-2-(3,4-dihydroxyphenyl)ethylene] or poly[3-(3,4-dihydroxyphenyl) glyceric acid] (PDPGA). Thus, the polyoxyethylene chain is the backbone of the polymer molecule. 3,4-Dihydroxyphenyl and carboxyl groups are regular substituents at two carbon atoms in the chain. The repeating unit of this regular polymer is 3-(3,4-dihydroxyphenyl)glyceric acid residue. Most of the carboxylic groups of PDPGA from Anchusa italica and Symphytum grandiflorum unlike the polymer of S.asperum, S.caucasicum and S.officinale are methylated. The 2D DOSY experiment gave the similar diffusion coefficient for the methylated and non-methylated signals of PDPGA. Both sets of signals fell in the same horizontal. This would imply a similar molecular weight for methylated and non-methylated polymers. PDPGA is endowed with intriguing pharmacological properties as immunomodulatary (anticomplementary), antioxidant, anti-inflammatory, burn and wound healing properties. Then the basic monomeric moiety of this polymer, 3-(3,4-dihydroxyphenyl)glyceric acid (DPGA) was synthesized via Sharpless asymmetric dihydroxylation of *trans*-caffeic acid derivatives using a potassium osmate catalyst and a stoichiometric oxidant N-methylmorpholine-N-oxide. S. caucasicum PDPGA and synthetic DPGA exerted anti-cancer efficacy in vitro and in vivo against human prostate cancer (PCA) cells via targeting androgen receptor, cell cycle arrest and apoptosis without any toxicity, together with a strong decrease in prostate specific antigen level in plasma. However, our results showed that anticancer efficacy of PDPGA is more effective compared to its synthetic monomer. Overall, this study identifies S.caucasicum PDPGA as a potent agent agains.

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