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Biological macromolecules from medicinal plants: Prospective therapeutic agents

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Within the field of pharmacologically active biological macromolecules the area of stable polyethers seems rather new and attractive. A new series of linear and regular caffeic acid-derived polyether, namely poly[oxy-1-carboxy-2-(3,4-dihydroxyphenyl)ethylene] or poly[3-(3,4-dihydroxyphenyl)glyceric acid] (PDPGA) was isolated and identified in the water-soluble, high molecular weight fractions obtained from *Symphytum asperum, S. caucasicum, S. officinale, S. grandiflorum* and *Anchusa italica (Boraginaceae)*. According to data of ^{13}C, 1H NMR, 2D 1H/13C HSQC experiments the polyoxyethylene chain is the backbone of the polymer molecule. The 3,4-Dihydroxyphenyl and carboxyl groups are regular substituents at two carbon atoms in the chain. The repeating unit of this polymer is 3-(3,4-dihydroxyphenyl)glyceric acid residue. Most of the carboxylic groups of PDPGA from *A. italica and S. 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 *A. italica* 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 anticomplementary, antioxidant, anti-inflammatory, burn and wound healing effect. The synthesis of racemic monomer of PDPGA 2,3-dihydroxy-3-(3,4-dihydroxyphenyl)propionic acid (DDPPA) and its enantiomers (+)-(2R,3S)-DDPPA and (–)-(2S,3R)-DDPPA was carried out via sharpless asymmetric dihydroxylation of trans-caffeic acid derivatives using a potassium osmate catalyst and cinchona alkaloid derivatives (DHQ)2-PHAL and (DHQD)2-PHAL as chiral auxiliaries. PDPGA and DDPPA 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 PDPGA as a potent agent against PCA without any toxicity, and supports its clinical application.

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π-extended star-shaped polycyclic aromatic hydrocarbons: Synthesis, self-assembly and facile-tunable emissive properties

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A novel set of star-shaped polycyclic aromatic hydrocarbons (PAHs) based on naphthalene-fused truxenes, named as TrNaCn (n=1-4), were synthesized and characterized. Oxidative cyclodehydrogenation was carried out following the microwave-assisted six-fold Suzuki coupling reaction. It is worthwhile to mention that multiple dehydrocyclization samples can be isolated effectively in one reaction, suggesting that the oxidative cyclodehydrogenation was a stepwise ring-closed process. Thermal, optical, and electrochemical properties, and self-assembly behaviors of the resulting oxidized samples were investigated to understand the impact of the ring-fused process on the properties of star-shaped PAHs. Distinct bathochromic shift of the absorption maxima λmax reveals that the molecular conjugation extends with the stepwise ring closed reaction. The optical band gap energy of these PAHs varied significantly with increasing the fused rings, resulting in facile-tunable emissive properties for the resultant star-shaped PAHs. Interestingly, with the generation of perylene analogue rigid arms, TrNaC2 and TrNaC3 showed significant enhancement of photoluminescence quantum yields (PLQYs) in solution (η=0.65 and 0.66, respectively) in comparison with those of TrNa and TrNaC1 (η=0.08 and 0.16, respectively). With strong intermolecular interactions, the precursor TrNa is found to be able to self-assemble into rod-like microcrystals which can be facilely identified by naked eyes, while TrNaC1 self-assembles into nanosheets once the naphthalene rings are fused. This study offers a unique platform to gain further insights and better understanding into the photophysical and self-assembly properties of π-extended star-shaped PAHs.

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