

# 雷公藤甲素的减毒增效策略研究进展<sup>Δ</sup>

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**摘要** 雷公藤甲素(TP)是传统中药雷公藤的核心活性成分,具有强效抗炎、免疫抑制、抗肿瘤等药理活性,在自身免疫性疾病、恶性肿瘤等重大疾病治疗领域应用前景广阔。但TP治疗窗狭窄,存在肝、肾、生殖等多器官毒性,严重制约了其临床应用与新药研发,减毒增效已成为该领域亟待解决的核心科学问题。本文系统梳理了TP减毒增效的四大核心策略:结构修饰从分子结构层面优化TP毒效特征,典型衍生物有(5R)-5-羟基雷公藤甲素、ZT01、PG490-88等;剂型改良通过多类递送系统靶向、缓释/控释减毒增效,包括纳米粒、脂质体、微乳凝胶、液晶制剂等TP剂型,临床转化潜力优良;中药配伍与中医外治法贴合中医整体观,临床应用基础深厚,但作用机制阐释不足,缺乏统一的标准化规范与高质量循证证据。未来应依托多组学技术阐明毒效机制,融合技术优化制剂,完善评价体系并推进临床转化。

**关键词** 雷公藤甲素;减毒增效;结构修饰;剂型改良;中药配伍;中医外治法

## Research progress on strategies for toxicity reduction and efficacy enhancement of triptolide

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**ABSTRACT** Triptolide (TP), the core active component of the traditional Chinese medicine *Tripterygium wilfordii*, exhibits remarkable pharmacological activities including anti-inflammatory, immunosuppressive and anti-tumor effects, and holds broad application prospects in the treatment of major diseases such as autoimmune diseases and malignant tumors. However, TP has a narrow therapeutic window and causes multi-organ toxicities including liver, kidney and reproductive toxicities, which severely restrict its safe clinical application and new drug development. Therefore, toxicity reduction and efficacy enhancement has become a core scientific problem urgently to be solved in this field. This paper systematically reviews the four core strategies for TP toxicity reduction and efficacy enhancement, including structural modification, dosage form improvement, herbal compatibility, and external therapies of traditional Chinese medicine. Among them, structural modification optimizes the toxic and efficacy characteristics of TP from the molecular structure level, with typical derivatives including (5R)-5-hydroxy triptolide, ZT01, PG490-88, etc. Dosage form modification achieves toxicity reduction and efficacy enhancement via targeted and sustained-controlled drug release of diverse delivery systems. It includes triptolide preparations such as nanoparticles, liposomes, microemulsion gels and liquid crystals, possessing favorable clinical transformation potential. The herbal compatibility and external therapies of traditional Chinese medicine conform to the holistic view of traditional Chinese medicine and have a profound clinical application foundation, but their mechanisms of action are insufficiently elucidated, and they lack unified standardized specifications and high-quality evidence-based proof. In the future, we should rely on multi-omics technology to elucidate the toxic and efficacy mechanisms,

integrate technologies to optimize preparations, improve the evaluation system and promote clinical transformation.

**KEYWORDS** triptolide; toxicity reduction and efficacy enhancement; structural modification; dosage form improvement; herbal compatibility; external therapy of traditional Chinese medicine

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