

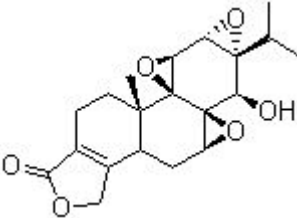


## Product Introduction

### Triptolide (PG490)

Triptolide is a diterpene triepoxide, immunosuppressive agent extracted from the Chinese herb *Tripterygium wilfordii*.

#### Technical Data:

<b>Molecular Weight (MW):</b>	360.4	
<b>Formula:</b>	C <sub>20</sub> H <sub>24</sub> O <sub>6</sub>	
<b>Solubility (25°C)</b> * <1 mg/ml means slightly soluble or insoluble:	DMSO <1 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
<b>Purity:</b>	>98%	
<b>Storage:</b>	3 years -20°C Powder 6 months -80°C in DMSO	
<b>CAS No.:</b>	38748-32-2	

#### Biological Activity

Triptolide is a diterpene triepoxide with potent immunosuppressive and antiinflammatory properties. Triptolide is shown to inhibit the expression of IL-2 in activated T cells at the level of purine-box/nuclear factor and NF-κB mediated transcription activation. <sup>[1]</sup> Triptolide inhibits the proliferation and colony formation of tumor cells at extremely low concentrations (2–10 ng/mL). Triptolide has an inhibitory activity on breast, stomach and leukemia cell line HL-60 cells. Triptolide induces apoptosis in tumor cells by blocking NF-κB activation and sensitizing tumor cells for TNF-α induced programmed cell death.

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[2]

Triptolide synergizes with cyclosporin A in promoting graft survival in animal models and in suppression of graft versus host disease in allogeneic bone marrow transplants. In addition, it induces apoptosis in tumor cells and potentiates tumor necrosis factor (TNF- $\alpha$ ) induction of apoptosis in part through the suppression of c-IAP2 and c-IAP1 induction. <sup>[1]</sup> <sup>[3]</sup> Triptolide treatment for 2–3 weeks inhibits the growth of xenografts formed by four different tumor cell lines (B16 melanoma, MDA-435 breast cancer, TSU bladder cancer, and MGC80-3 gastric carcinoma), indicating that TPL has a broad spectrum of activity against tumors that contain both wild-type and mutant forms of p53. In addition, Triptolide inhibits experimental metastasis of B16F10 cells to the lungs and spleens of mice. <sup>[2]</sup> Triptolide has in vitro and in vivo activities against mouse models of polycystic kidney disease. <sup>[4]</sup> LD50: Mice 0.83mg/kg (i.v.). <sup>[5]</sup>

## References

- [1] Qiu D, et al. J Biol Chem, 1999, 274(19), 13443-13450.
- [2] Yang S, et al. Mol Cancer Ther, 2003, 2(1), 65-72.
- [3] Lee KY, et al. J Biol Chem, 1999, 274(19), 13451-13455.
- [4] Leuenroth SJ, et al. Proc Natl Acad Sci, 2007, 104(11), 4389-4394.
- [5] Xu L, et al. Food Chem Toxicol, 2013, 57, 371-379.



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