

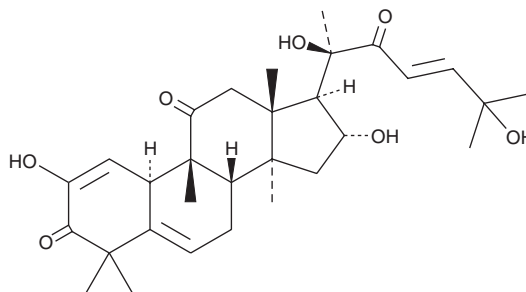
# PRODUCT INFORMATION



## Cucurbitacin I

Item No. 14747

**CAS Registry No.:** 2222-07-3  
**Formal Name:** (10 $\alpha$ )-2,16 $\alpha$ ,20,25-tetrahydroxy-9 $\beta$ -methyl-19-norlanosta-1,5,23E-triene-3,11,22-trione  
**Synonyms:** Elatericin B, JSI-124, NSC 521777  
**MF:** C<sub>30</sub>H<sub>42</sub>O<sub>7</sub>  
**FW:** 514.7  
**Purity:**  $\geq$ 98%  
**UV/Vis.:**  $\lambda_{\text{max}}$ : 234, 268 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:**  $\geq$ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Cucurbitacin I is supplied as a crystalline solid. A stock solution may be made by dissolving the cucurbitacin I in the solvent of choice, which should be purged with an inert gas. Cucurbitacin I is soluble in organic solvents such as ethanol and DMSO. The solubility of cucurbitacin I in these solvents is approximately 10 and 5 mg/ml, respectively.

Cucurbitacin I is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

### Description

Cucurbitacin I is triterpenoid compound that acts as a potent inhibitor of the STAT3/JAK signaling pathway. It specifically suppresses levels of tyrosine phosphorylated STAT3 in v-Src-transformed NIH 3T3 cells and in A549 cells (IC<sub>50</sub> = 500 nM) resulting in inhibition of STAT3 DNA binding and reduced STAT3-mediated gene transcription.<sup>1</sup> It also suppresses JAK2 phosphorylation but does not affect Src, ERK, JNK or Akt.<sup>1</sup> In nude mice, cucurbitacin I (1 mg/kg/day) suppressed growth of various tumors expressing constitutively active STAT3.<sup>1</sup> It promotes the differentiation of dendritic cells and macrophages and enhances the effect of cancer immunotherapy.<sup>2</sup> Cucurbitacin I (1  $\mu$ M for 2 hours) reduced clonogenicity of nasopharyngeal carcinoma cells *in vitro* and suppresses tumor growth in mice (1.3 mg/kg).<sup>3</sup>

### References

1. Blaskovich, M.A., Sun, J., Cantor, A., *et al.* Discovery of JSI-124 (Cucurbitacin I), a selective janus kinase/signal transducer and activator of transcription 3 signaling pathway inhibitor with potent antitumor activity against human and murine cancer cells in mice. *Cancer Res.* **63**(6), 1270-1279 (2003).
2. Nefedova, Y., Nagaraj, S., Rosenbauer, A., *et al.* Regulation of dendritic cell differentiation and antitumor immune response in cancer by pharmacological selective inhibition of the Jak2/STAT3 pathway. *Cancer Res.* **65**(20), 9525-9535 (2005).
3. Lui, V.W.Y., Yau, D.M.S., Wong, E.Y.L., *et al.* Cucurbitacin I elicits anoikis sensitization, inhibits cellular invasion and *in vivo* tumor formation ability of nasopharyngeal carcinoma cells. *Carcinogenesis* **30**(12), 2085-2094 (2009).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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