

# PRODUCT INFORMATION



## HTI 286

Item No. 32852

**CAS Registry No.:** 228266-40-8  
**Formal Name:** N, $\beta$ , $\beta$ -trimethyl-L-phenylalanyl-N-[(1S,2E)-3-carboxy-1-(1-methylethyl)-2-buten-1-yl]-N,3-dimethyl-L-valinamide

**Synonyms:** Taltobulin, SPA 110

**MF:** C<sub>27</sub>H<sub>43</sub>N<sub>3</sub>O<sub>4</sub>

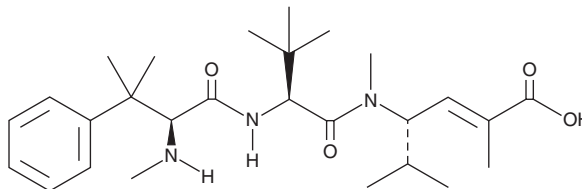
**FW:** 473.7

**Purity:**  $\geq$ 98%

**Supplied as:** A 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

HTI 286 is supplied as a solid. A stock solution may be made by dissolving the HTI 286 in the solvent of choice, which should be purged with an inert gas. HTI 286 is soluble in the organic solvent DMSO at a concentration of approximately 40 mg/ml.

### Description

HTI 286 is an inhibitor of microtubule polymerization.<sup>1,2</sup> It binds to ( $K_d = 260$  nM) and inhibits the polymerization of tubulin in a cell-free assay when used at concentrations of 0.1 and 1  $\mu$ M. HTI 286 (30 nM) induces cell cycle arrest at the G<sub>2</sub>/M phase and apoptosis in KB-3-1 epidermoid carcinoma cells.<sup>2</sup> It inhibits cell growth in a panel of 18 tumor cell lines, including HCT-15 and DLD-1 colon cancer cells, which overexpress P-glycoprotein (mean IC<sub>50</sub> = 2.5 nM). HTI 286 (1.6 mg/kg) reduces tumor volume in LOX, KB-3-1, KB-8-5, MX-1, DLD-1, and HCT-15 mouse xenograft models.

### References

1. Krishnamurthy, G., Cheng, W., Lo, M.-C., *et al.* Biophysical characterization of the interactions of HTI-286 with tubulin heterodimer and microtubules. *Biochemistry* **42(46)**, 13484-13495 (2003).
2. Loganzo, F., Discafani, C.M., Annable, T., *et al.* HTI-286, a synthetic analogue of the tripeptide hemiassterlin, is a potent antimicrotubule agent that circumvents P-glycoprotein-mediated resistance *in vitro* and *in vivo*. *Cancer Res.* **63(8)**, 1838-1845 (2003).

#### 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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