Taltobulin hydrochloride

Cat. No.: HY-15584B

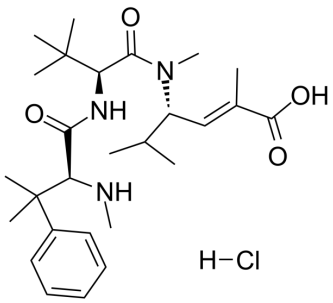
Molecular Formula: $C_{27}H_{44}ClN_3O_4$

Molecular Weight: 510.11

Target: Microtubule/Tubulin; ADC Cytotoxin; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Antibody-drug Conjugate/ADC Related; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (196.04 mM)
H_2O : 33.33 mg/mL (65.34 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9604 mL	9.8018 mL	19.6036 mL
	5 mM	0.3921 mL	1.9604 mL	3.9207 mL
	10 mM	0.1960 mL	0.9802 mL	1.9604 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Taltobulin hydrochloride (HTI-286 hydrochloride), a synthetic analogue of the tripeptide hemiasterlin, is a potent antimicrotubule agent that circumvents P-glycoprotein-mediated resistance in vitro and in vivo. Taltobulin hydrochloride inhibits the polymerization of purified tubulin, disrupts microtubule organization in cells, and induces mitotic arrest, as well as apoptosis[1].

IC_{50} & Target

Traditional Cytotoxic Agents

In Vitro

Taltobulin (HTI-286; 0.2-7.3 nM; 3 days) inhibits the growth of 18 tumor cell lines (leukemia, ovarian, NSCLC, breast, colon, and melanoma cell lines) with an average IC_{50} of 2.5±2.1 nM and a median value of 1.7 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Leukemia CCRF-CEM cell line; ovarian 1A9 cell line; NSCLC A549 and NCI-H1299 cell lines; breast MX-1W and MCF-7 cell lines; colon HCT-116, DLD-1, Colo205, KM20, SW620, S1, HCT-15 and Moser cell lines; melanoma A375, Lox and SK-Mel-2 cell lines
Concentration:	0.2-7.3 nM
Incubation Time:	3 days
Result:	Inhibited the growth of tumor cell lines with IC_{50}s of 0.2±0.03 nM (for leukemia CCRF-CEM cell line), 0.6±0.1 nM (for ovarian 1A9 cell line), 1.1±0.5 and 6.8±6.1 nM (for NSCLC A549 and NCI-H1299 cell lines), 1.8±0.6, 7.3±2.3 nM (for breast MX-1W, MCF-7 cell lines), 0.7±0.2, 1.1±0.4, 1.5±0.6, 1.8±0.6, 3.6±0.8, 3.7±2.0, 4.2±2.5, and 5.3±4.1 nM (for colon HCT-116, DLD-1, Colo205, KM20, SW620, S1, HCT-15, and Moser cell lines), 1.1±0.8, 1.4±0.6 and 1.7±0.5 nM (for melanoma A375, Lox and SK-Mel-2 cell lines).

In Vivo

Taltobulin (HTI-286; 1.6 mg/kg i.v.) inhibits the growth of human tumor xenografts (e.g., HCT-15, DLD-1, MX-1W, and KB-8-5) in athymic nu/nu female mice[1].

Taltobulin (HTI-286; 3 mg/kg; p.o. gavage) inhibits growth by 97.3 % and 82% in athymic nu/nu female mice with Lox melanoma xenografts and KB-3-1 epidermoid xenograft model, respectively[1].

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Animal Model:	Athymic nu/nu female mice with Lox melanoma model (5-6 weeks of age)[1]
Dosage:	1.6 mg/kg
Administration:	Administered i.v.;for 35 days
Result:	Growth of Lox tumors was inhibited by 96-98% on day 12 compared with vehicle-treated controls. Growth of KB-8-5 tumors was inhibited by 84% on day 14 compared with vehicle-treated controls. Growth of MX-1W tumors was inhibited by 97% compared with vehicle-treated controls. Growth of DLD-1 and HCT-15 tumors was inhibited by 80 and 66%, respectively.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2020 May 26;14(5):e0007942.

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REFERENCES

[1]. Loganzo F, et al. HTI-286, a synthetic analogue of the tripeptide hemiasterlin, is a potent antimicrotubule agent that circumvents P-glycoprotein-mediated resistance in vitro and in vivo. Cancer Res. 2003 Apr 15;63(8):1838-45.

Caution: Product has not been fully validated for medical applications. For research use only.

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